

10/657,910

=>

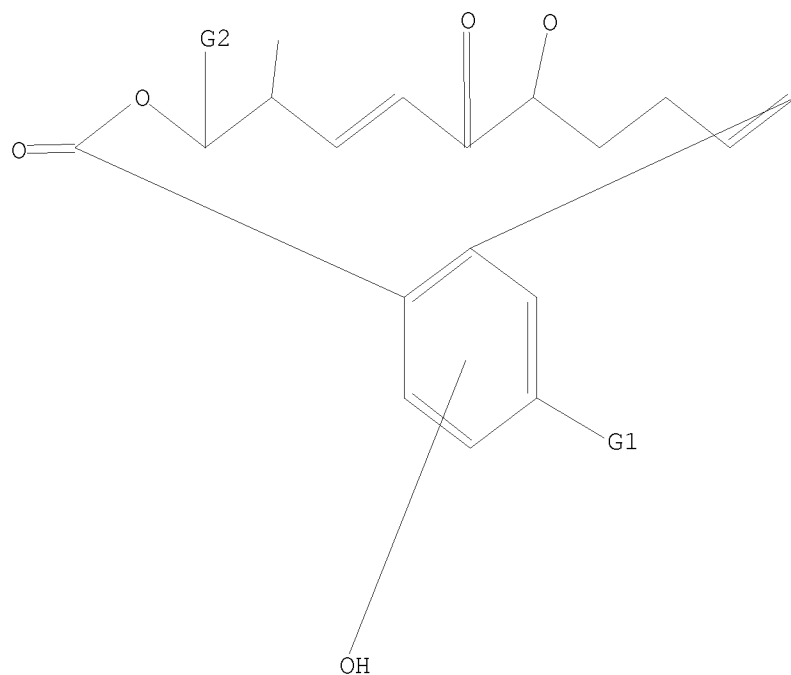
Uploading C:\Program Files\Stnexp\Queries\10657910a.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,N

G2 C,H,Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 15:47:37 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 10029 TO ITERATE

19.9% PROCESSED 2000 ITERATIONS

3 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 194577 TO 206583

PROJECTED ANSWERS: 68 TO 532

L2 3 SEA SSS SAM L1

10/923,271

=> s l1 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 15:47:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 202095 TO ITERATE

100.0% PROCESSED 202095 ITERATIONS 114 ANSWERS
SEARCH TIME: 00.00.15

L3 114 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	186.84	189.54

FILE 'CAPLUS' ENTERED AT 15:48:24 ON 17 NOV 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 17 Nov 2009 VOL 151 ISS 21
FILE LAST UPDATED: 16 Nov 2009 (20091116/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

During November, try the new LSUS format of legal status information in the CA/Caplus family databases for free! Complete details on the number of free displays and other databases participating in this offer appear in NEWS 10.

=> s l3

L4 7 L3

=> s l4 and py2004

10/923,271

L5 0 PY2004
0 L4 AND PY2004

=> s 14 and py2003
0 PY2003
L6 0 L4 AND PY2003

=> s 14 and py <2004
24043635 PY <2004
L7 2 L4 AND PY <2004

=> d 1-2 ibib abs hitstr
THE ESTIMATED COST FOR THIS REQUEST IS 11.28 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

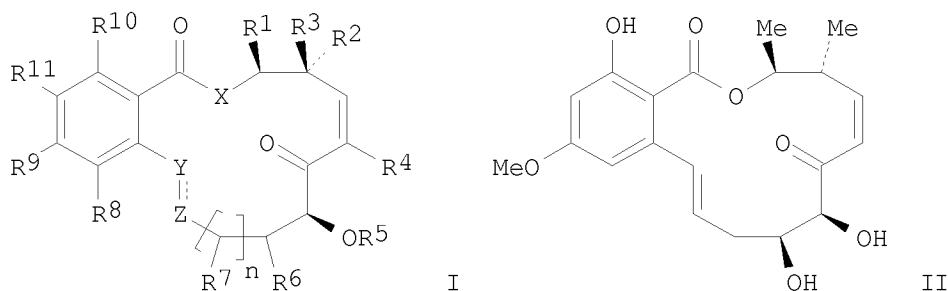
ACCESSION NUMBER: 2004:964817 CAPLUS
DOCUMENT NUMBER: 141:410756
TITLE: Preparation of macrocyclic compounds for the treatment
of inflammation and autoimmune disorders
INVENTOR(S): Chiba, Kenichi; Du, Hong; Eguchi, Yoshihito; Fujita,
Masanori; Goto, Masaki; Gusovsky, Fabian; Harmange,
Jean-Christophe; Inoue, Atsushi; Kawada, Megumi;
Kawai, Takatoshi; Kawakami, Yoshiyuki; Kimura,
Akifumi; Kotake, Makoto; Kuboi, Yoshikazu; Matsushima,
Tomohiro; Mizui, Yoshiharu; Muramoto, Kenzo; Sakurai,
Hideki; Shen, Yong-chun; Shiota, Hiroshi; Spyvee,
Mark; Tanaka, Isao; Wang, John; Wood, Ray; Yamamoto,
Satoshi; Yoneda, Naoki
PATENT ASSIGNEE(S): Japan
SOURCE: U.S. Pat. Appl. Publ., 299 pp., Cont.-in-part of Appl.
No. PCT/US03/07377.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040224936	A1	20041111	US 2003-657910	20030909
WO 2003076424	A1	20030918	WO 2003-US7377	20030307 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
ZA 2004007156	A	20061227	ZA 2004-7156	20040907
WO 2005023792	A2	20050317	WO 2004-US29196	20040909
WO 2005023792	A3	20050623		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,			

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2008297317 A 20081211 JP 2008-194192 20080728
 PRIORITY APPLN. INFO.: US 2002-362883P P 20020308
 US 2002-380711P P 20020514
 WO 2003-US7377 A2 20030307
 JP 2003-574642 A3 20030307
 US 2003-657910 A 20030909

OTHER SOURCE(S): CASREACT 141:410756; MARPAT 141:410756
 GI



AB Macrocyclic compds. of formula I [R1 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.; R2, R3 = H, halo, (substituted) OH, alkyl, aryl, etc.; R1R2, R1R3 = alkylene; R4 = H, halo; R5 = H, protecting group, prodrug; R6, R7, R11 = H, (substituted) OH; R8, R9 = H, halo, (substituted) OH, alkoxy, etc.; R10 = H, (substituted) OH, (substituted) NH2; n = 0-2; X = absent, O, NH, N-alkyl, CH2, S; Y, Z = CH, O, CO, NH, etc.] are prepared for the treatment of various disorders including inflammatory or autoimmune disorders, and disorders involving malignancy or increased angiogenesis. In certain embodiments, methods for the treatment of various disorders including inflammatory or autoimmune disorders comprise systemically (e.g., orally) administering to a subject in need thereof a therapeutically effective amount of a compound of formula I. Thus, II was prepared in several steps. Some of the compds. inhibited NF- κ B with IC50 values < 10 μ M.

IT 603039-45-8P 603045-38-1P 603045-40-5P
 603045-42-7P 603045-44-9P 603045-46-1P
 603151-24-2P 603151-32-2P 603151-34-4P
 603959-45-1P 603985-37-1P 603985-63-3P
 603985-65-5P 603985-69-9P 603985-71-3P
 603985-72-4P 603985-73-5P 603985-74-6P
 603985-75-7P 603985-77-9P 603985-78-0P
 603986-04-5P 603987-34-4P 603987-35-5P
 603987-75-3P 603987-93-5P 603988-36-9P

10/923,271

791101-13-8P 791101-14-9P 791101-15-0P

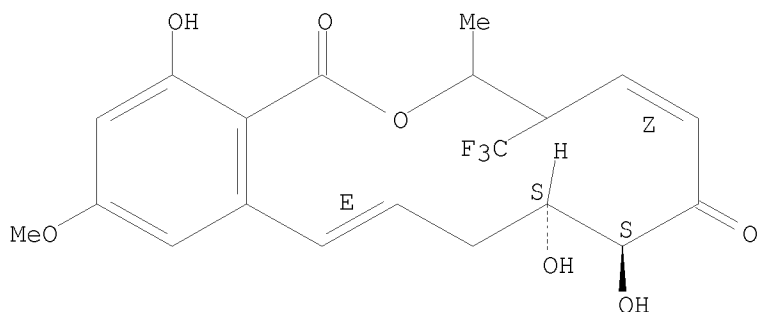
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of macrocyclic compds. for the treatment of inflammatory or autoimmune disorders)

RN 603039-45-8 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3-methyl-4-(trifluoromethyl)-, (5Z,8S,9S,11E)- (CA INDEX NAME)

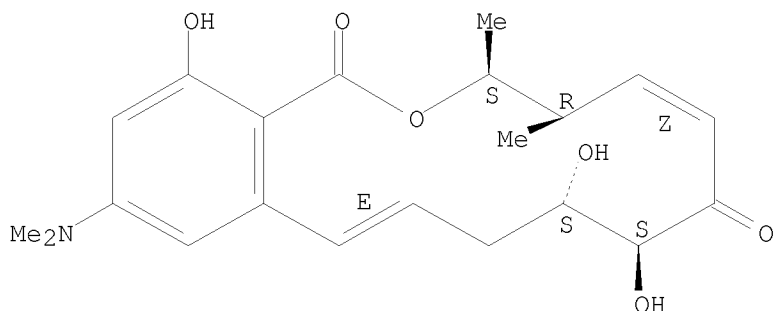
Absolute stereochemistry.
Double bond geometry as shown.



RN 603045-38-1 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(dimethylamino)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

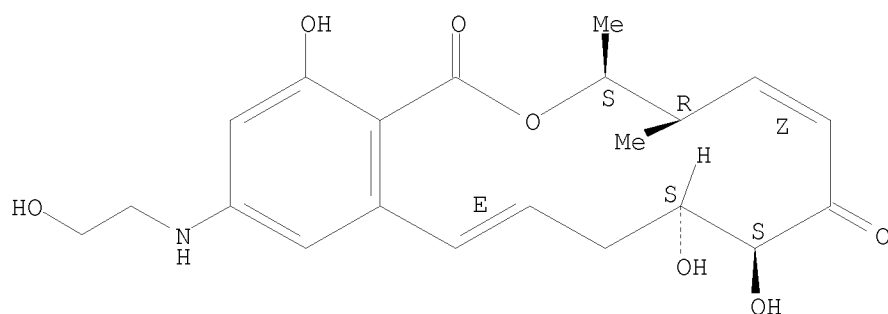


RN 603045-40-5 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(2-hydroxyethyl)amino]-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

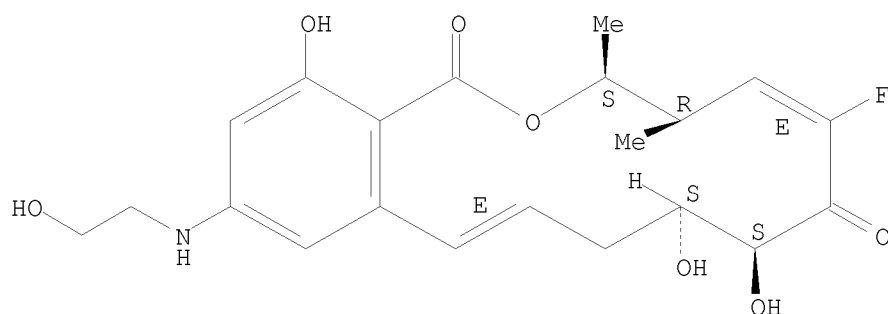
10/923,271



RN 603045-42-7 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(2-hydroxyethyl)amino]-
3,4-dimethyl-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

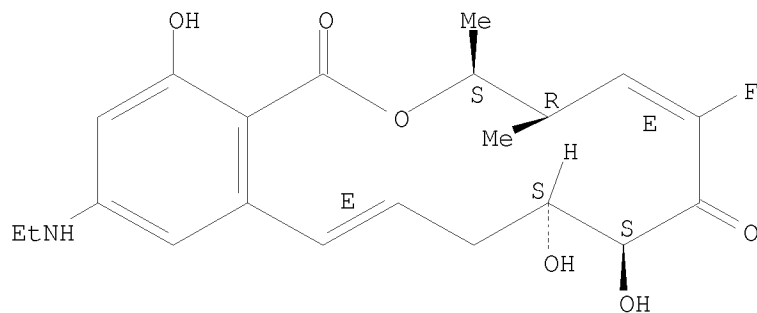
Absolute stereochemistry.
Double bond geometry as shown.



RN 603045-44-9 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(ethylamino)-6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-
dimethyl-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

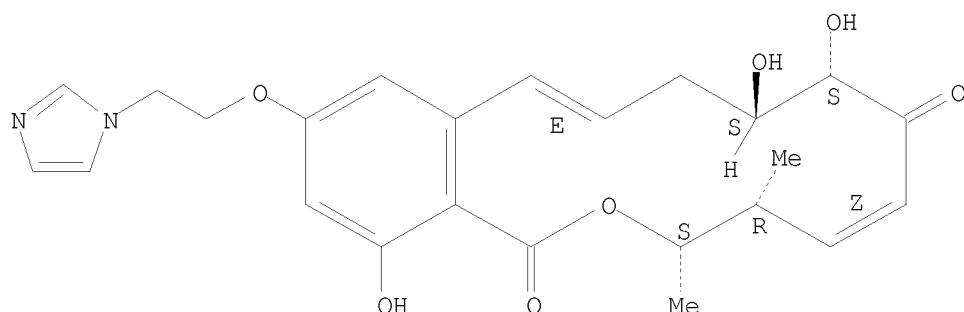


RN 603045-46-1 CAPLUS

10/923,271

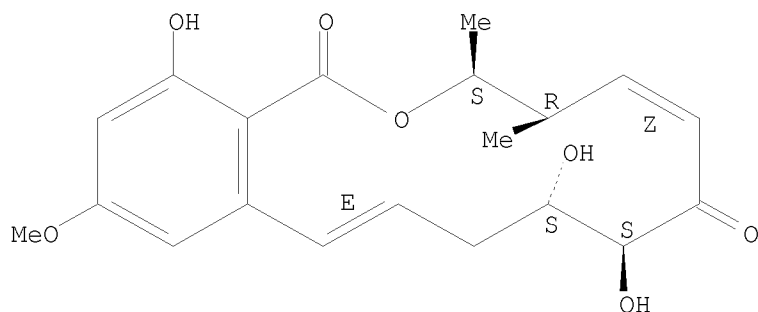
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[2-(1H-imidazol-1-yl)ethoxy]-3,4-
dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603151-24-2 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

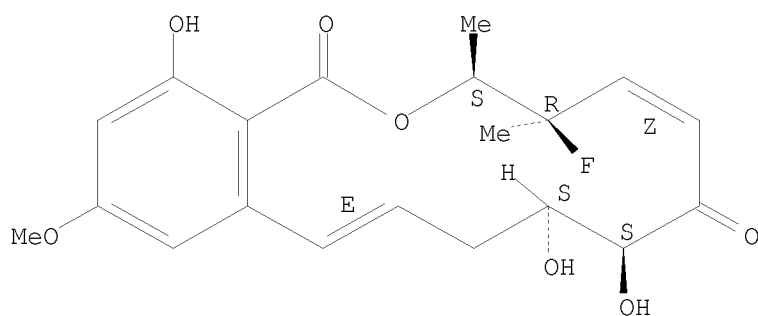
Absolute stereochemistry.
Double bond geometry as shown.



RN 603151-32-2 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
4-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

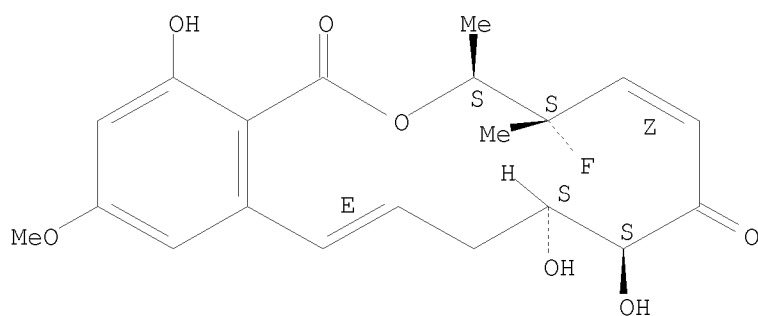
10/923,271



RN 603151-34-4 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
4-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3,4-dimethyl-,
(3S,4S,5Z,8S,9S,11E)- (CA INDEX NAME)

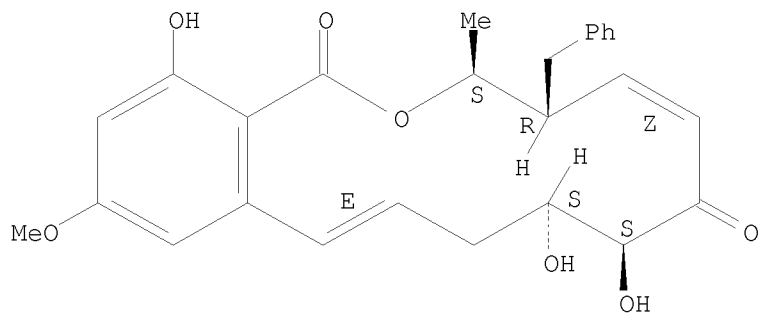
Absolute stereochemistry.
Double bond geometry as shown.



RN 603959-45-1 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3-methyl-4-(phenylmethyl)-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

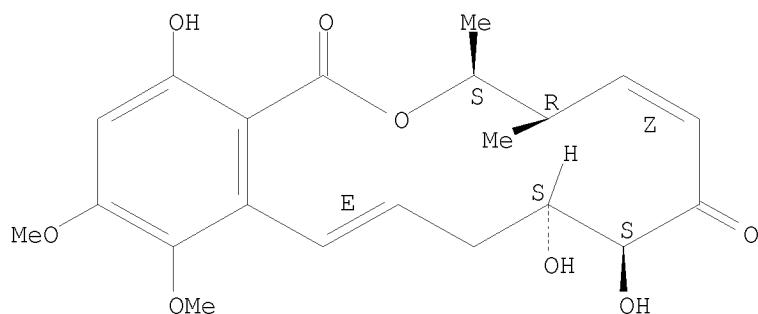


RN 603985-37-1 CAPLUS

10/923,271

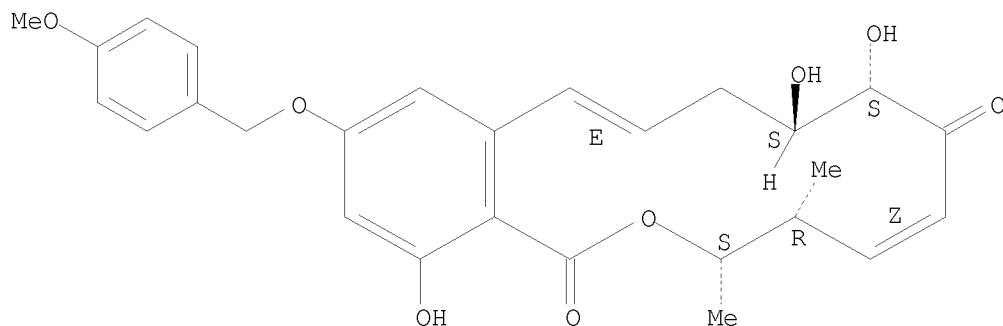
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-13,14-dimethoxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-63-3 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(4-methoxyphenyl)methoxy]-3,4-
dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

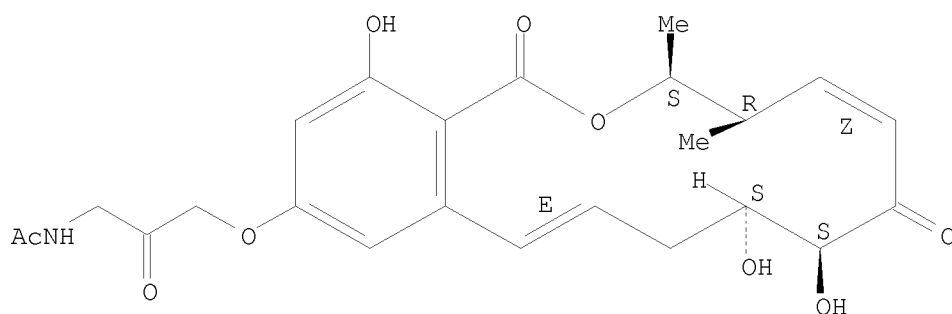
Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-65-5 CAPLUS
CN Acetamide, N-[3-[[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-
trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-14-yl]oxy]-2-
oxopropyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

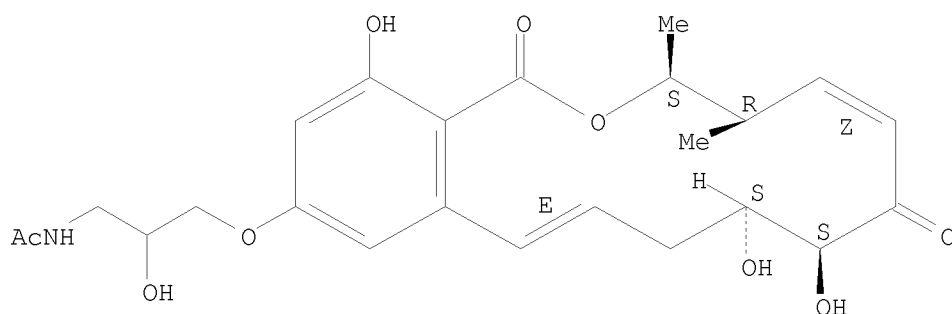
10/923,271



RN 603985-69-9 CAPLUS

CN Acetamide, N-[3-[[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-14-yl]oxy]-2-hydroxypropyl]- (CA INDEX NAME)

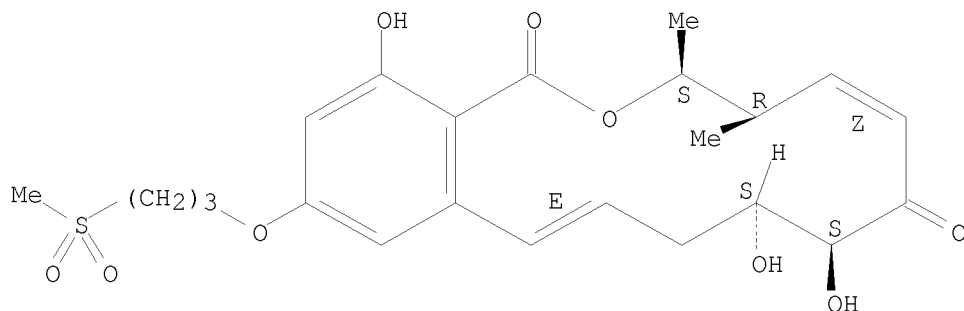
Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-71-3 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione, 3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[3-(methylsulfonyl)propoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

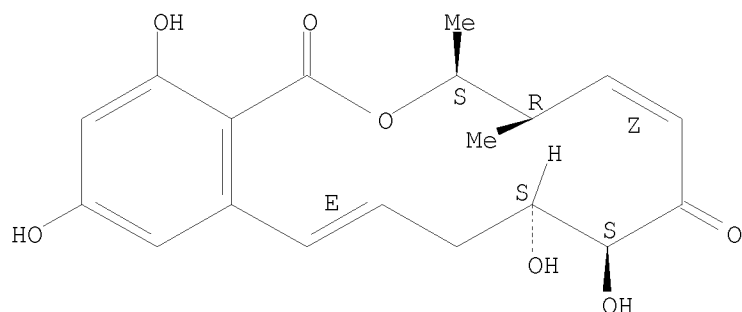


RN 603985-72-4 CAPLUS

10/923,271

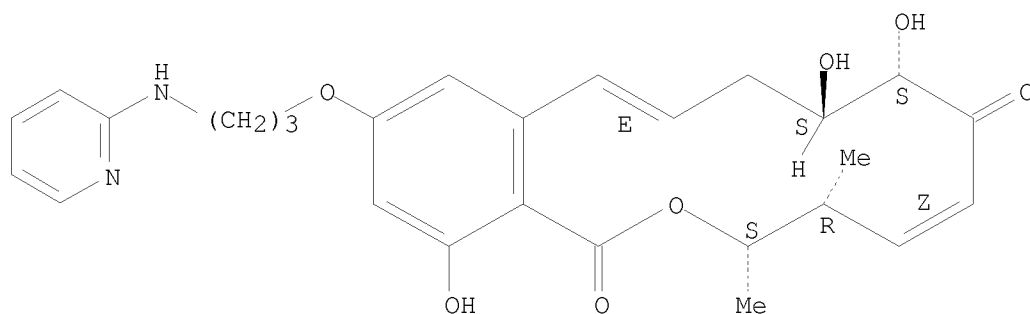
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,14,16-tetrahydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-73-5 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[3-(2-
pyridinylamino)propoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

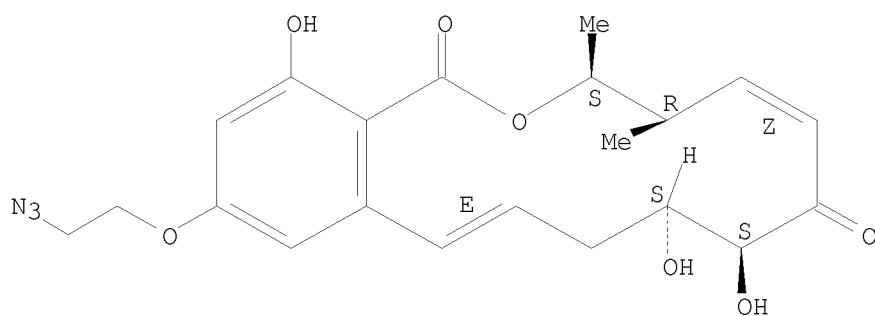
Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-74-6 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(2-azidoethoxy)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

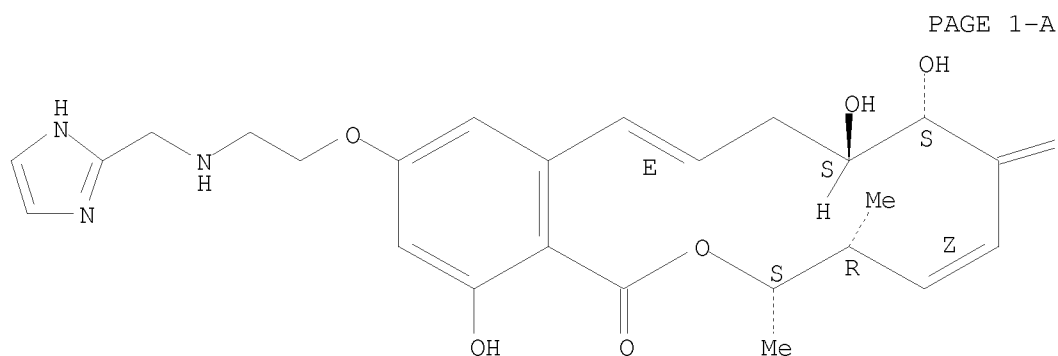
Absolute stereochemistry.
Double bond geometry as shown.

10/923,271



RN 603985-75-7 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[2-[(1H-imidazol-2-ylmethyl)amino]ethoxy]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



PAGE 1-A

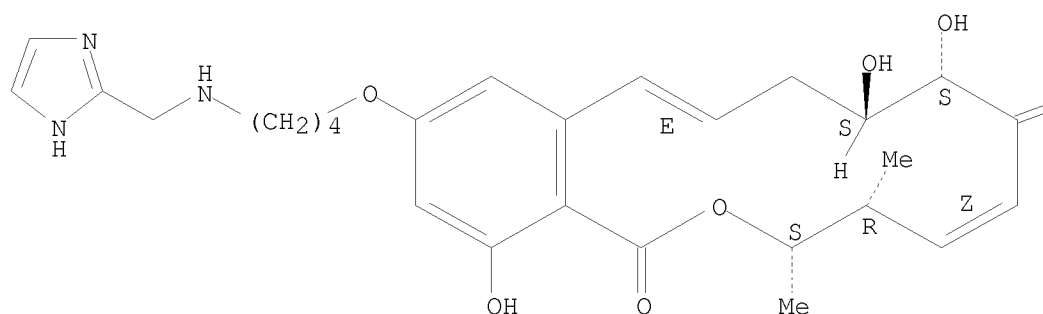
PAGE 1-B

=O

RN 603985-77-9 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[4-[(1H-imidazol-2-ylmethyl)amino]butoxy]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

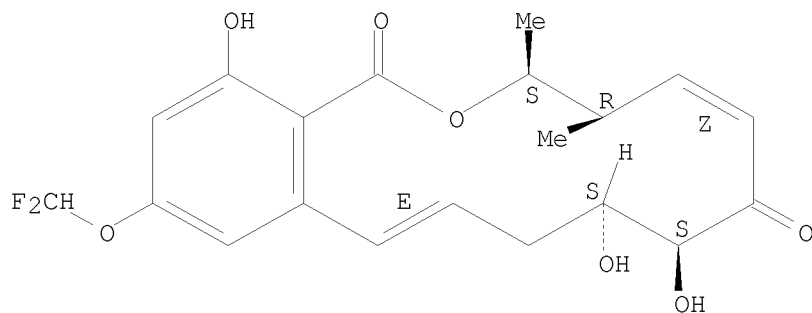


PAGE 1-B



RN 603985-78-0 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 14-(difluoromethoxy)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
 (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

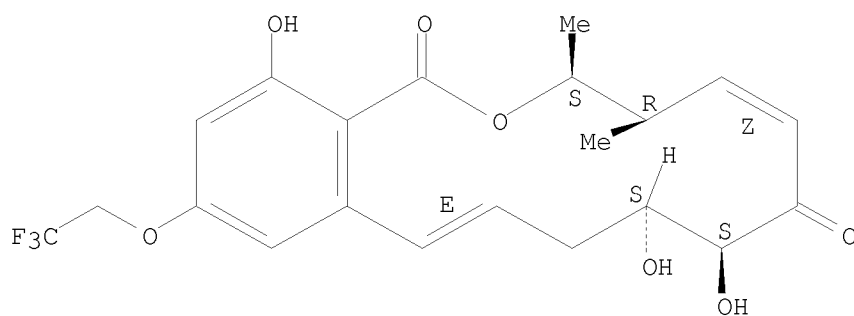
Absolute stereochemistry.
 Double bond geometry as shown.



RN 603986-04-5 CAPLUS
 CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-(2,2,2-
 trifluoroethoxy)-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

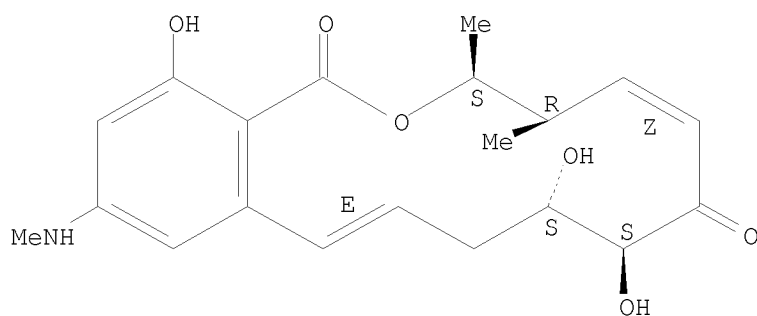
10/923,271



RN 603987-34-4 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-(methylamino)-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

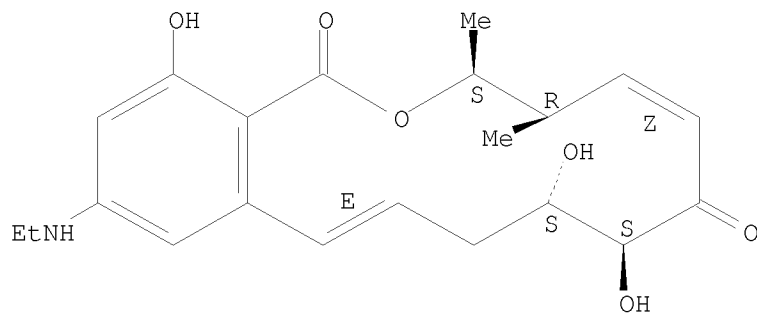
Absolute stereochemistry.
Double bond geometry as shown.



RN 603987-35-5 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(ethylamino)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

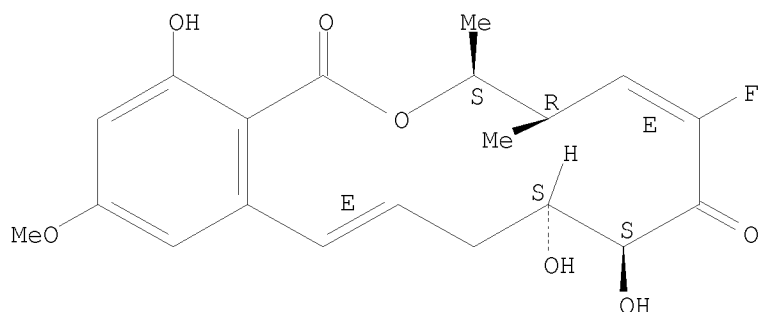


RN 603987-75-3 CAPLUS

10/923,271

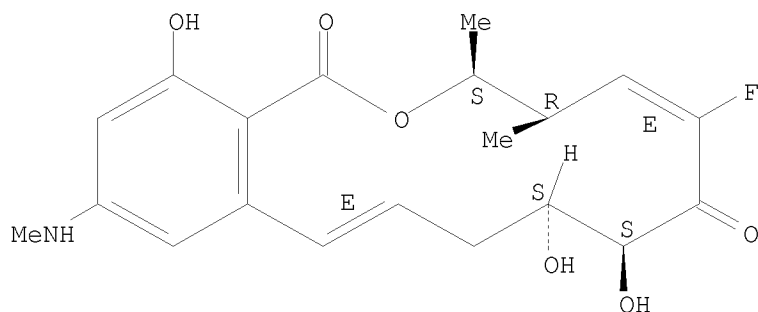
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3,4-dimethyl-,
(3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603987-93-5 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-
(methylamino)-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

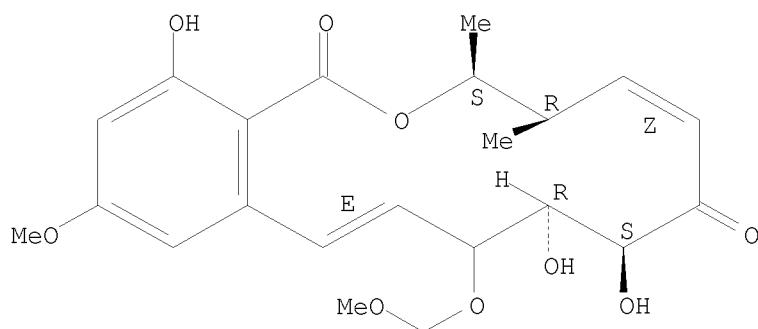
Absolute stereochemistry.
Double bond geometry as shown.



RN 603988-36-9 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-10-(methoxymethoxy)-3,4-
dimethyl-, (3S,4R,5Z,8S,9R,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

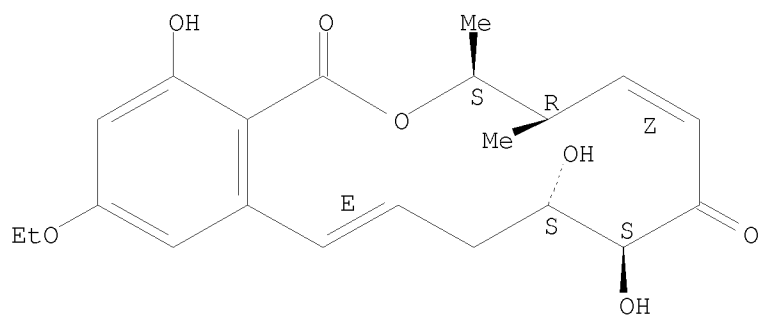
10/923,271



RN 791101-13-8 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-ethoxy-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

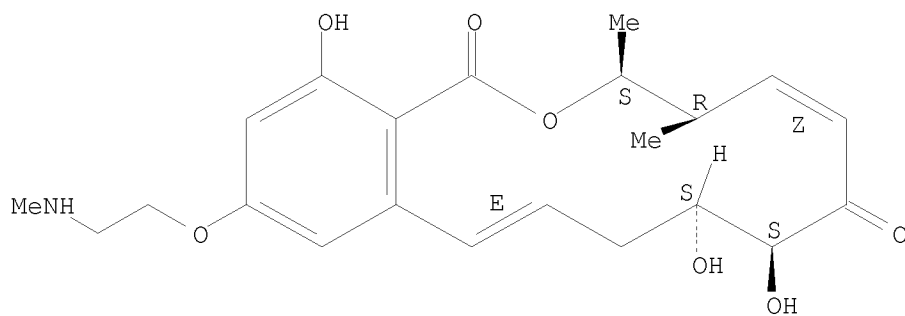
Absolute stereochemistry.
Double bond geometry as shown.



RN 791101-14-9 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[2-
(methylamino)ethoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

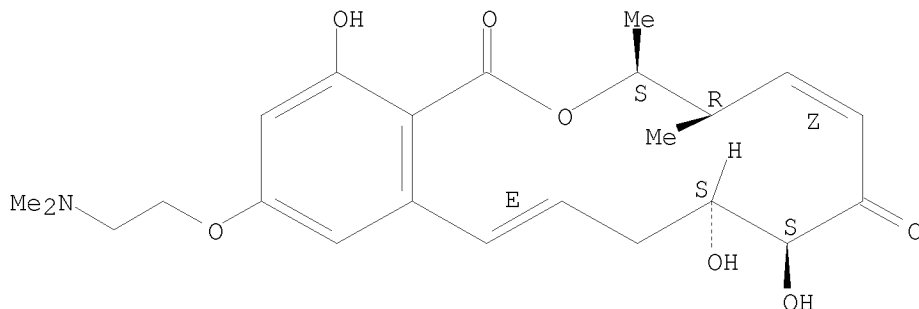
Absolute stereochemistry.
Double bond geometry as shown.



10/923,271

RN 791101-15-0 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-[2-(dimethylamino)ethoxy]-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-
dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:737744 CAPLUS

DOCUMENT NUMBER: 139:261090

TITLE: Preparation of macrocyclic compounds for use in
pharmaceutical and cosmetic compositions which
regulate various genes involved in immune and
inflammatory responses

INVENTOR(S): Boivin, Roch; Chiba, Kenichi; Davis, Heather A.;
Diepitro, Lucian; Du, Hong; Eguchi, Yoshihito; Fujita,
Masanori; Gilbert, Sandra; Goto, Masaki; Harmange,
Jean Christophe; Inoue, Atsushi; Jiang, Yimin; Kawada,
Megumi; Kawai, Takatoshi; Kawakami, Yoshiyuki; Kimura,
Akifumi; Kotake, Makoto; Kuboi, Yoshikazu; Lemelin,
Charles; Li, Xiang-yi; Matsushima, Tomohiro; Mizui,
Yoshiharu; Sakurai, Hideki; Schiller, Shawn; Shen,
Yongchun; Spyvee, Mark; Tanaka, Isao; Wang, Yuan;
Yamamoto, Satoshi; Yoneda, Naoki; Kobayashi, Seiichi

PATENT ASSIGNEE(S): Eisai Co. Ltd., Japan; et al.

SOURCE: PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

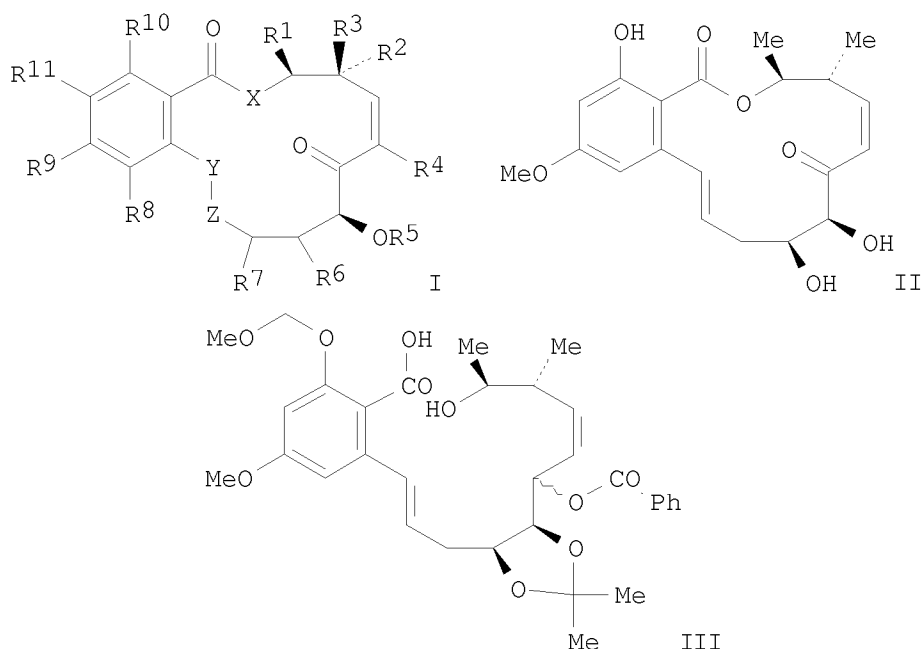
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076424	A1	20030918	WO 2003-US7377	20030307 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2478065	A1	20030918	CA 2003-2478065	20030307 <--
AU 2003224672	A1	20030922	AU 2003-224672	20030307 <--
BR 2003008113	A	20050209	BR 2003-8113	20030307
EP 1507773	A1	20050223	EP 2003-721353	20030307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005519954	T	20050707	JP 2003-574642	20030307
CN 1653059	A	20050810	CN 2003-810248	20030307
NZ 535101	A	20070727	NZ 2003-535101	20030307
RU 2334744	C2	20080927	RU 2004-129755	20030307
US 20040224936	A1	20041111	US 2003-657910	20030909
IN 2004KN01270	A	20060714	IN 2004-KN1270	20040830
ZA 2004007156	A	20061227	ZA 2004-7156	20040907
MX 2004008722	A	20050713	MX 2004-8722	20040908
NO 2004004256	A	20041207	NO 2004-4256	20041007
US 20060247448	A1	20061102	US 2004-507067	20041110
JP 2008297317	A	20081211	JP 2008-194192	20080728
PRIORITY APPLN. INFO.:			US 2002-362883P	P 20020308
			US 2002-380711P	P 20020514
			JP 2003-574642	A3 20030307
			WO 2003-US7377	W 20030307

OTHER SOURCE(S): MARPAT 139:261090

GI



AB Macrocyclic lactones and lactams, such as I [R1 = H, alkyl, heteroalkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl; R2, R3 = H, OH, halogen, protected hydroxyl, alkyl, heteroalkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl; R1R2 or R1R3 = 3-8 membered alicyclic ring; R4 = H, halogen; R5 = H, hydroxyl protecting group, linked prodrug; R6, R7 = H, OH, protected hydroxyl; R8, R9, R10, R11 = H, OH, NH2, alkoxy, alkylamino, etc.; X = O, NH, S, CH2, etc.; R8R9 = fused ring, such as furan or imidazole; Y-Z = CH:CH, NHCO, etc.], were prepared for a variety of therapeutic and cosmetic uses, such as antitumor and anti-inflammatory agents and treatment of skin photodamage. These macrocycles are claimed for use as NF- κ B, AP-1, protein kinase, cancer cell proliferation and solid tumor angiogenesis inhibitors and for use in the treatment of inflammation, cancer, psoriasis, skin photodamage, restenosis as stent coatings, rheumatoid arthritis, asthma, sepsis, inflammatory bowel disease, atopic dermatitis, Crohn's disease, autoimmune disorders and for treatment of gastrointestinal, esophageal, tracheal/bronchial, urethral and vascular obstructions wherein the lumen of a body passageway is expanded. Thus, macrocyclic lactone II, designated as ER 803064, was prepared via a multistep synthetic sequence with included a macrolactonization reaction of III to form the desired lactone ring. The prepared macrocycles were assayed for their effect on TNF- α and β -actin placental alkaline phosphatase transcription using human acute monocytic leukemia cells.

IT 791101-13-8 1080810-60-1 1080810-61-2
 1080810-62-3 1080810-64-5 1080810-65-6
 1080810-66-7 1080810-68-9 1080810-70-3
 1080810-71-4 1080810-72-5 1080810-73-6
 1080810-74-7 1080810-75-8 1080810-76-9
 1080810-77-0 1080810-78-1 1080810-79-2
 1080810-80-5 1080810-81-6 1080810-82-7
 1080810-83-8 1080810-84-9 1080810-85-0
 1080810-86-1 1080810-87-2 1080810-88-3
 1080810-89-4 1080810-90-7 1080810-91-8
 1080810-92-9 1080810-93-0 1080810-95-2
 1080810-96-3 1080810-97-4 1080810-98-5
 1080810-99-6 1080811-01-3 1080811-02-4
 1080811-03-5 1080811-04-6 1080811-05-7
 1080811-06-8 1080811-07-9 1080811-08-0
 1080811-09-1 1080811-10-4 1080811-11-5
 1080811-12-6 1083006-06-7

RL: PRPH (Prophetic)

(Preparation of macrocyclic compounds for use in pharmaceutical and cosmetic compositions which regulate various genes involved in immune and inflammatory responses)

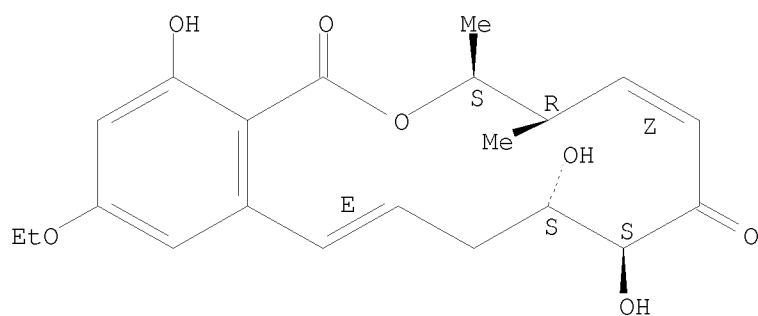
RN 791101-13-8 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
 14-ethoxy-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
 (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.

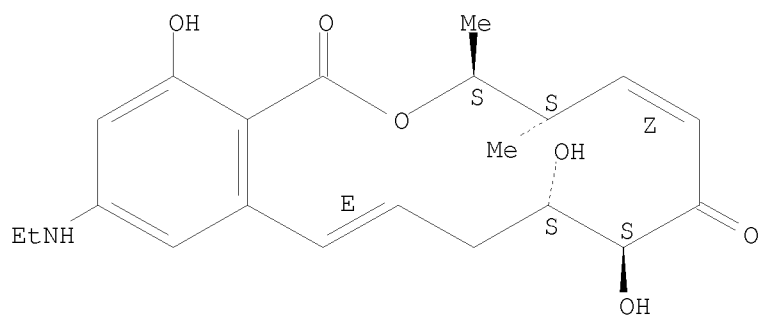
Double bond geometry as shown.

10/923,271



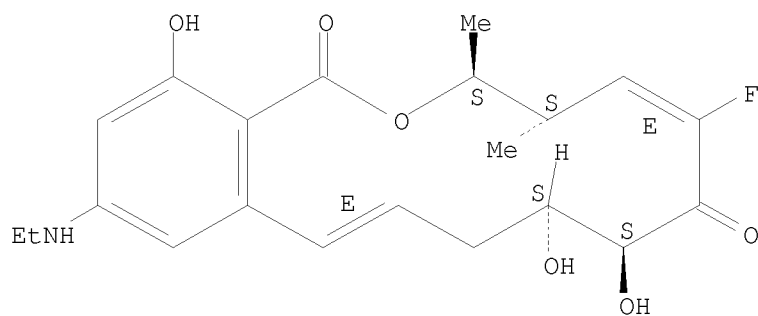
RN 1080810-60-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-61-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

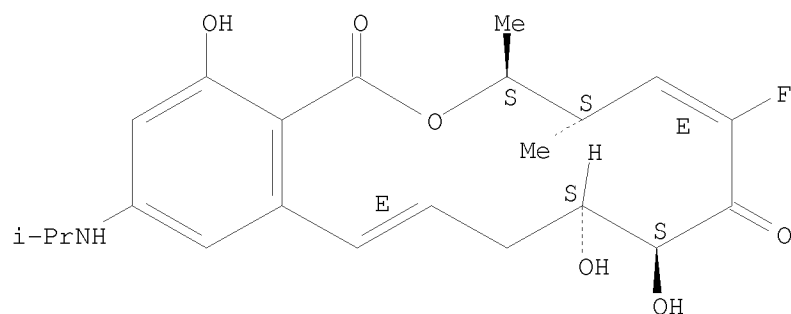
Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-62-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

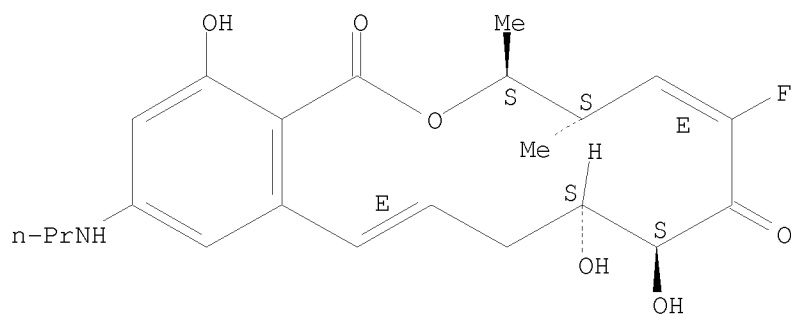
Absolute stereochemistry.
Double bond geometry as shown.

10/923,271



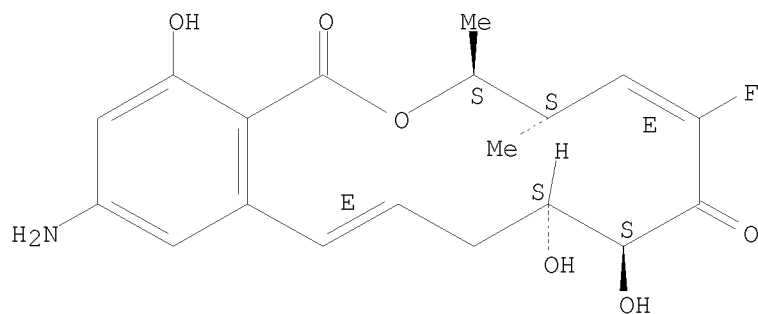
RN 1080810-64-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-65-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

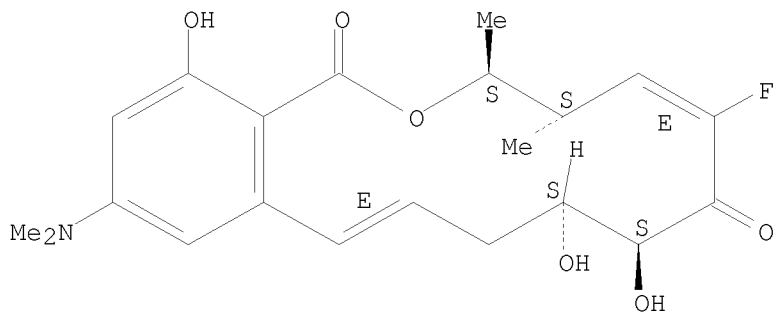


RN 1080810-66-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

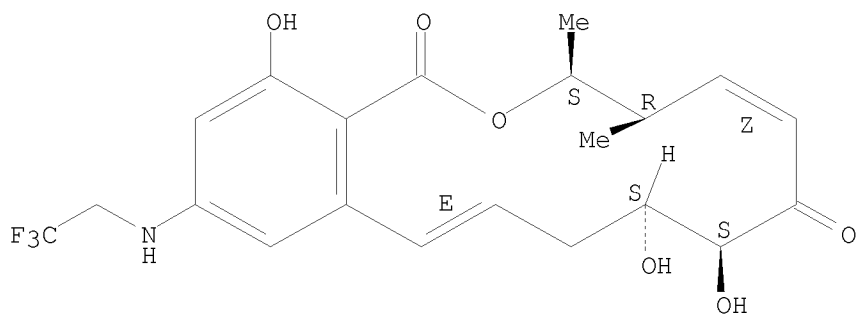
10/923,271

Double bond geometry as shown.



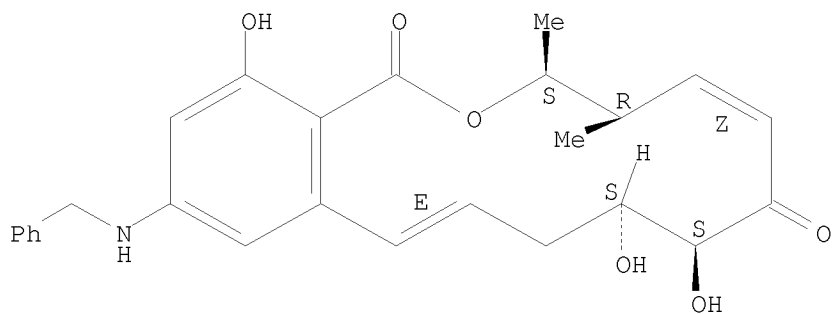
RN 1080810-68-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-70-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

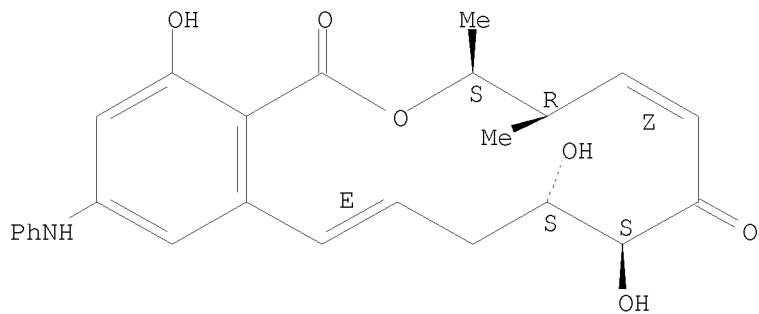
Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-71-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

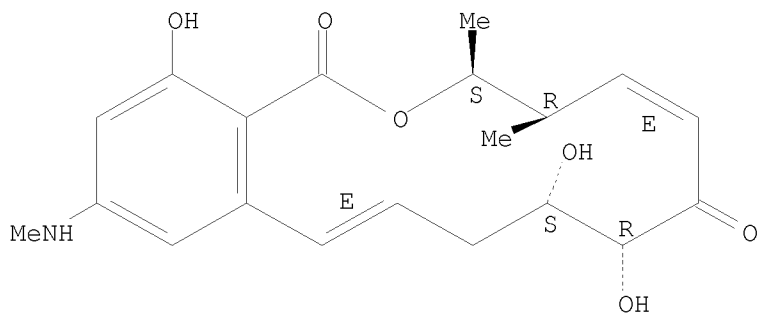
10/923,271

Absolute stereochemistry.
Double bond geometry as shown.



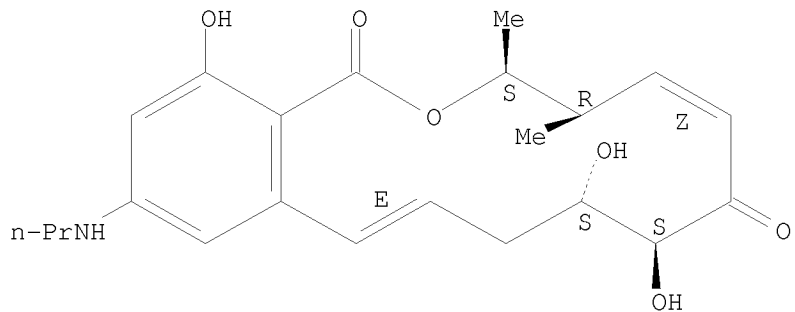
RN 1080810-72-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 1080810-73-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

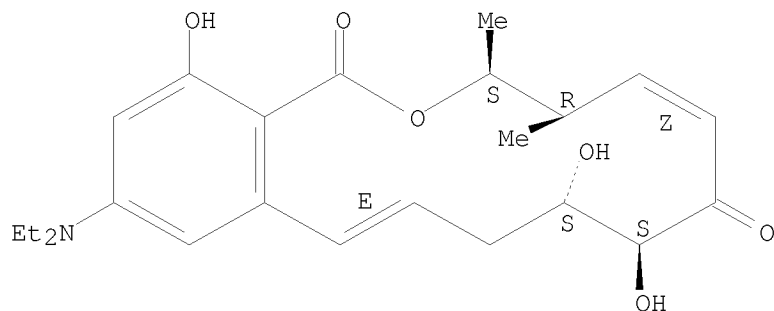
Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-74-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

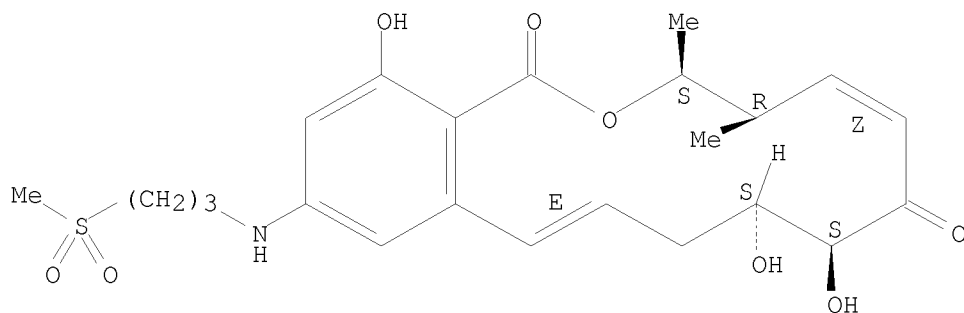
10/923,271

Absolute stereochemistry.
Double bond geometry as shown.



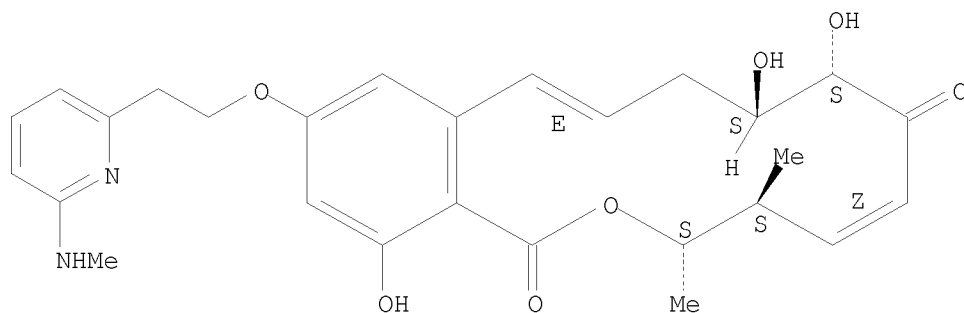
RN 1080810-75-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-76-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

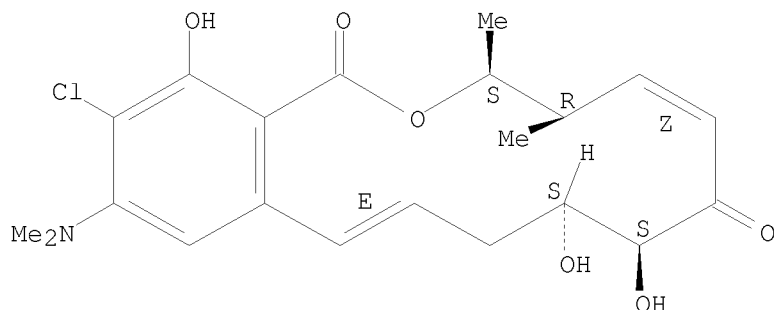


RN 1080810-77-0 CAPLUS

10/923,271

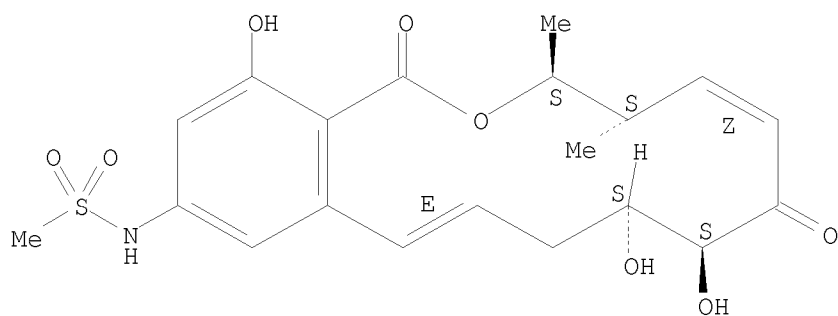
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



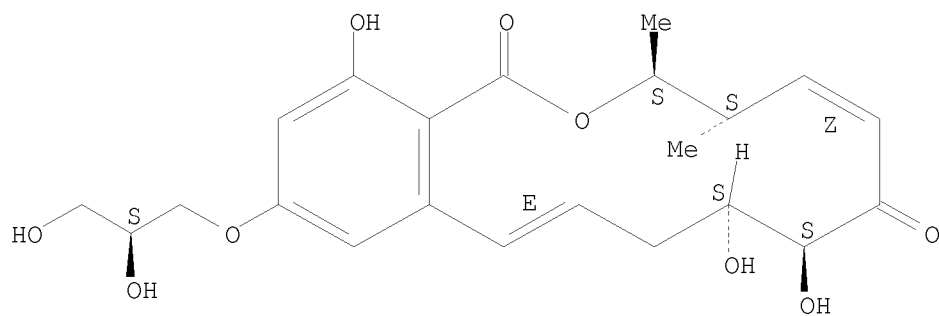
RN 1080810-78-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-79-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

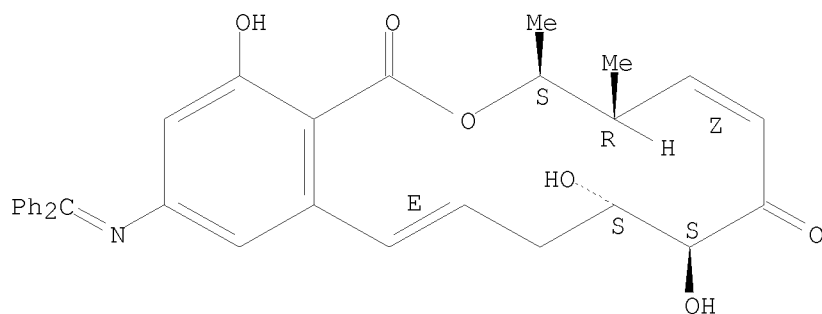
Absolute stereochemistry.
Double bond geometry as shown.



10/923,271

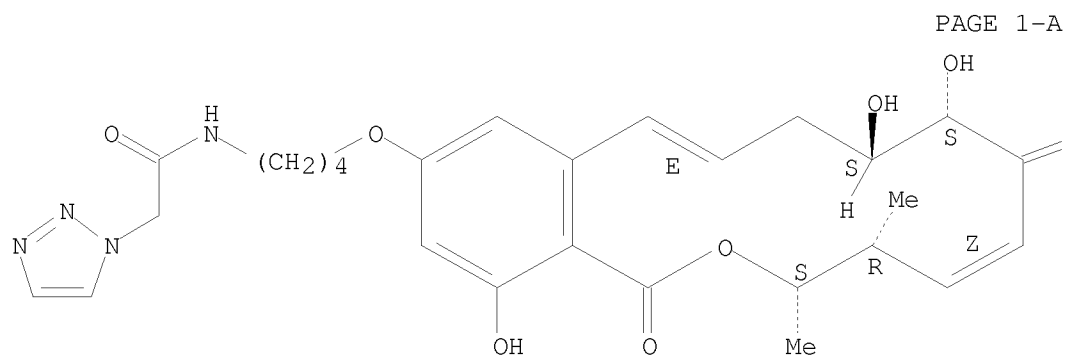
RN 1080810-80-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-81-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



PAGE 1-A

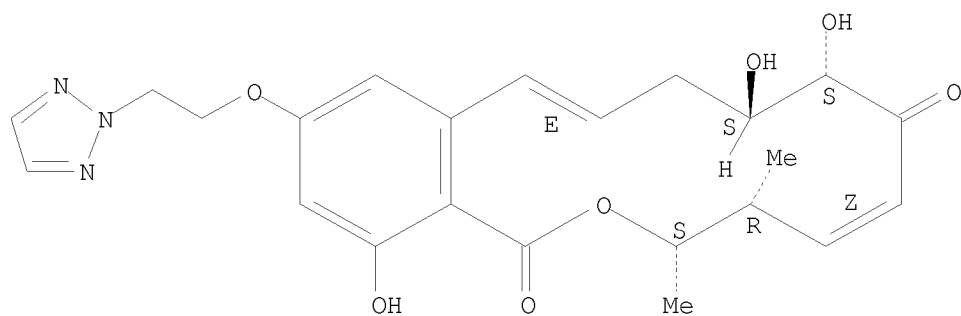
PAGE 1-B

=O

RN 1080810-82-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

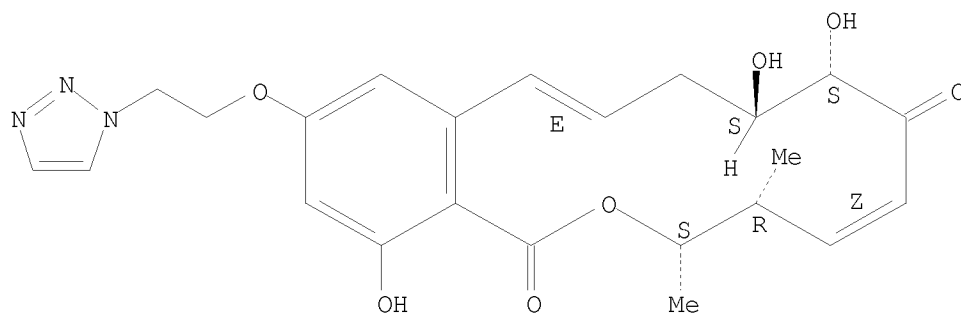
Absolute stereochemistry.
Double bond geometry as shown.

10/923,271



RN 1080810-83-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

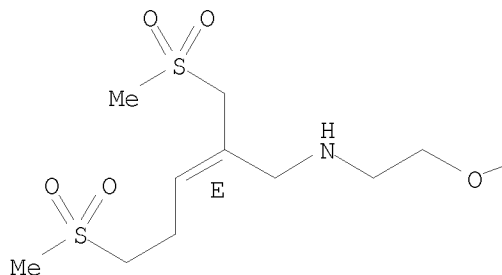
Absolute stereochemistry.
Double bond geometry as shown.

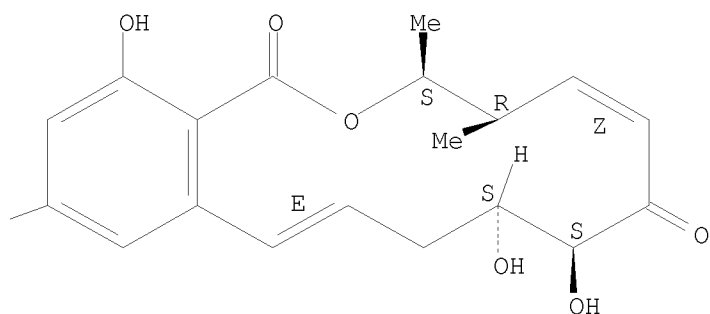


RN 1080810-84-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

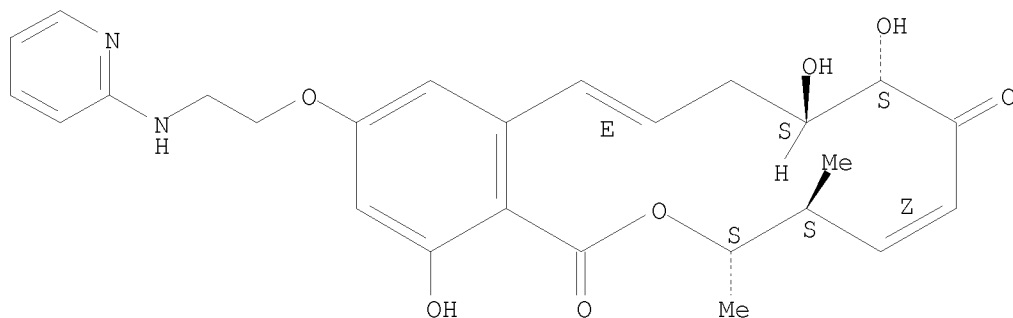
PAGE 1-A





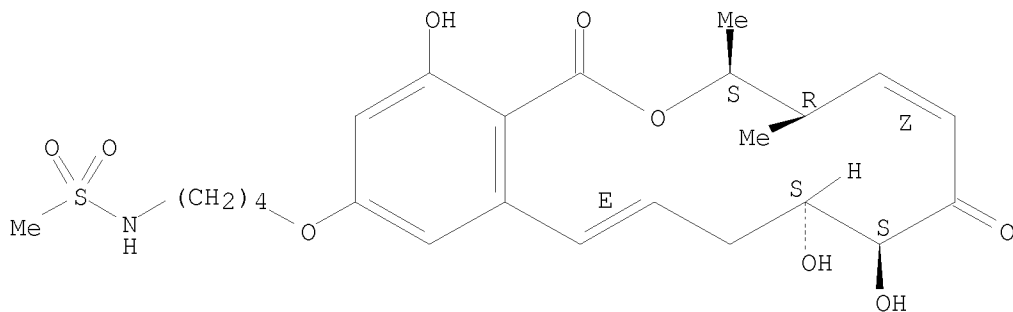
RN 1080810-85-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-86-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

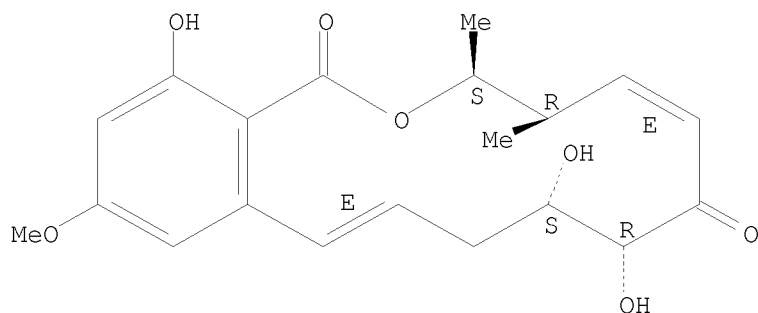


RN 1080810-87-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

10/923,271

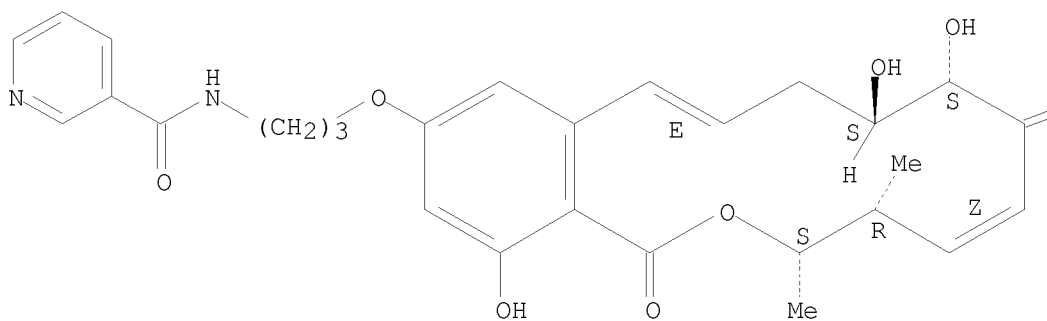
Double bond geometry as described by E or Z.



RN 1080810-88-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



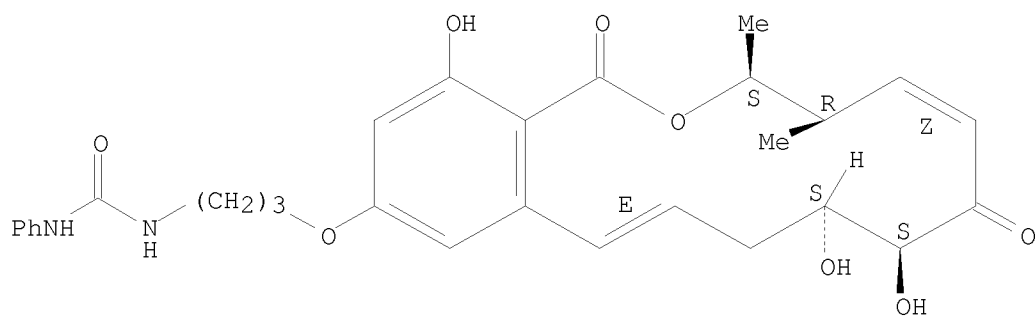
PAGE 1-B



RN 1080810-89-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

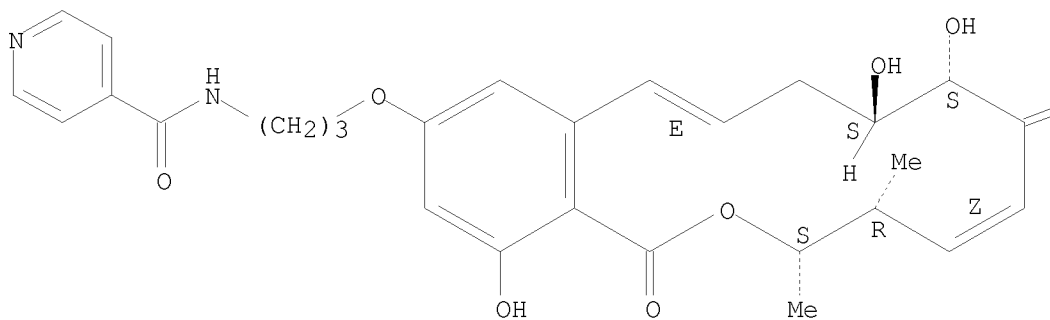
10/923,271



RN 1080810-90-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



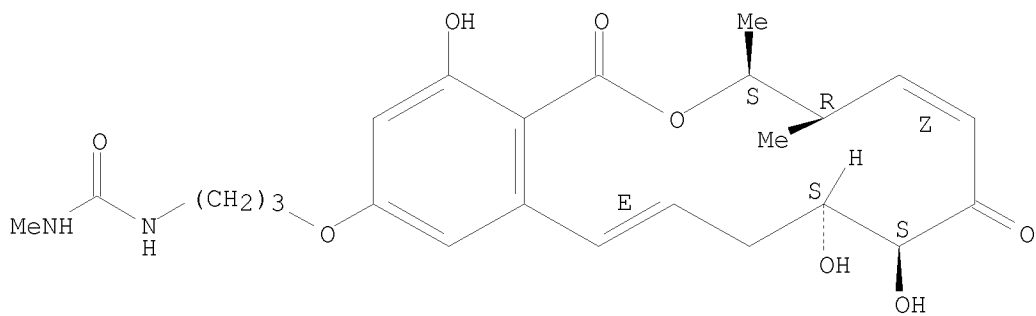
PAGE 1-B



RN 1080810-91-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

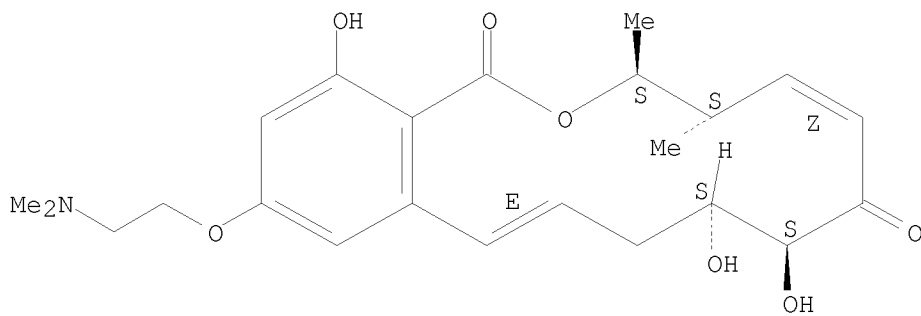
Absolute stereochemistry.
Double bond geometry as shown.

10/923,271



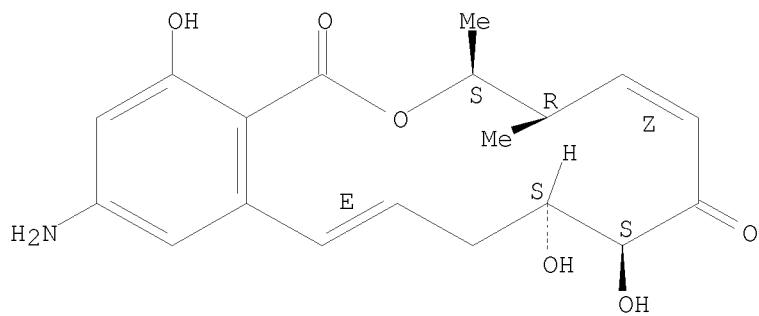
RN 1080810-92-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-93-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

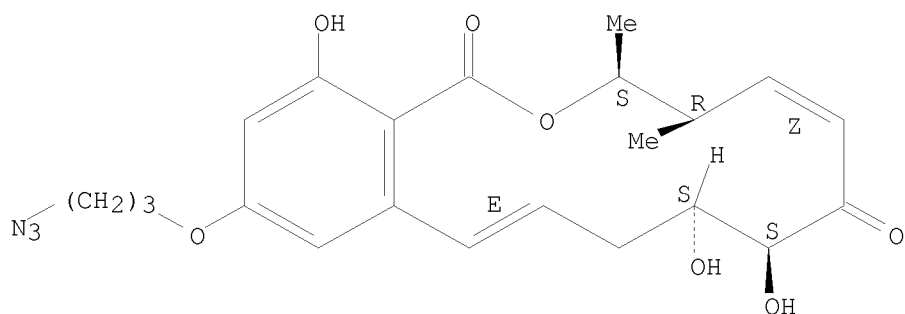
Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-95-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

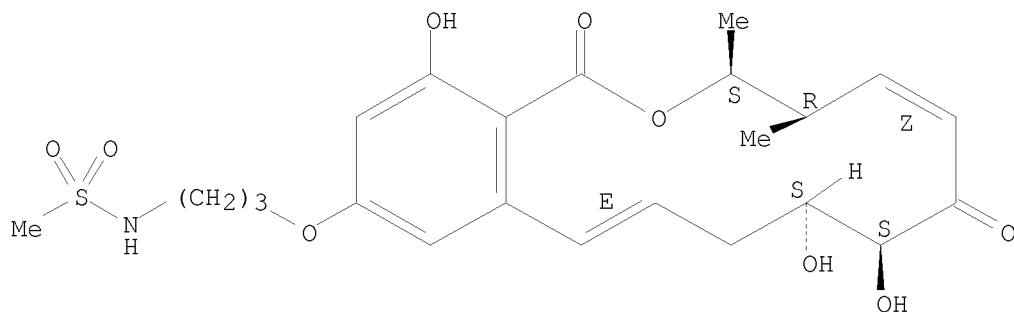
Absolute stereochemistry.
Double bond geometry as shown.

10/923,271



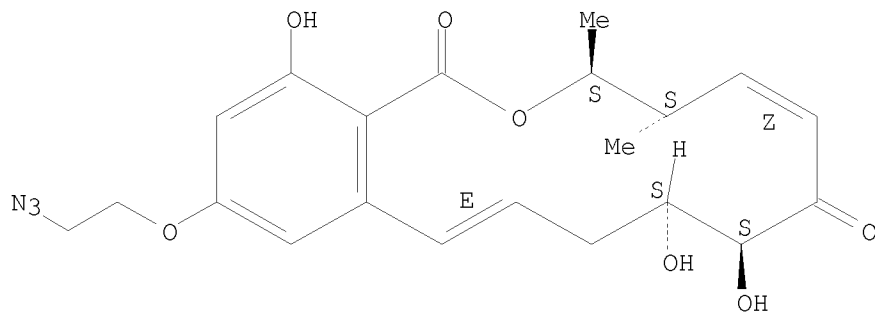
RN 1080810-96-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080810-97-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

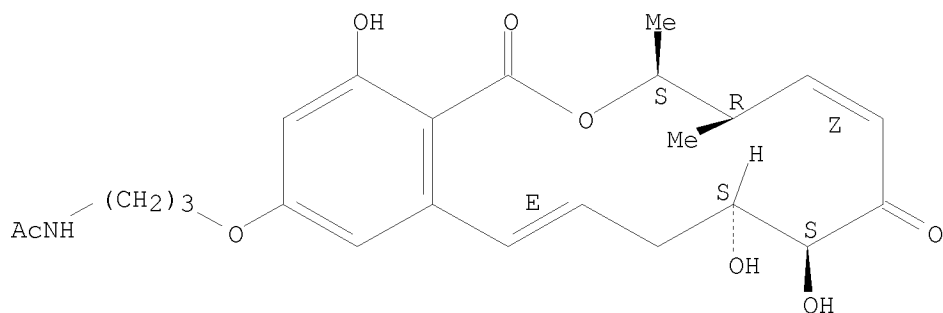


RN 1080810-98-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

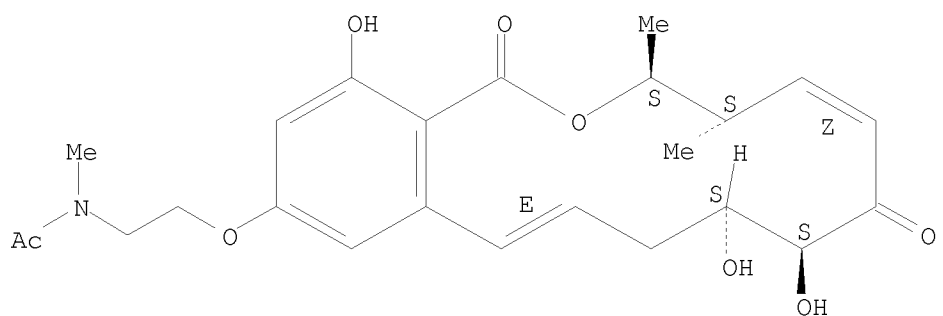
10/923,271

Double bond geometry as shown.



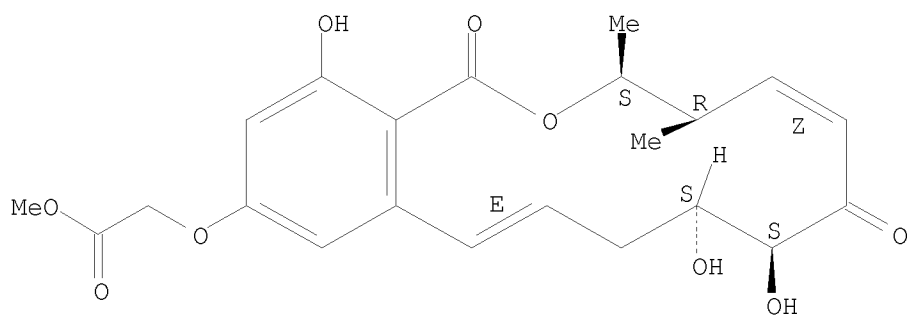
RN 1080810-99-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080811-01-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

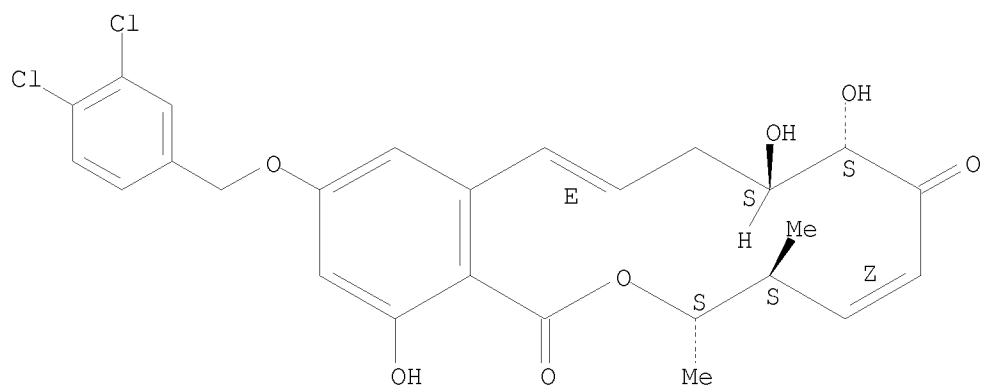
Absolute stereochemistry.
Double bond geometry as shown.



RN 1080811-02-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

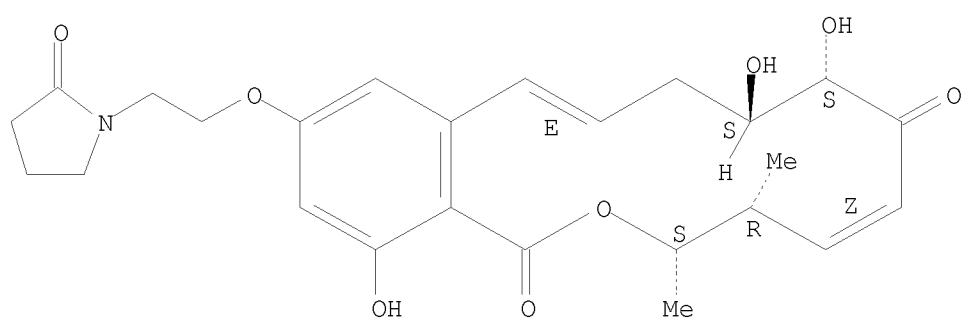
10/923,271

Absolute stereochemistry.
Double bond geometry as shown.



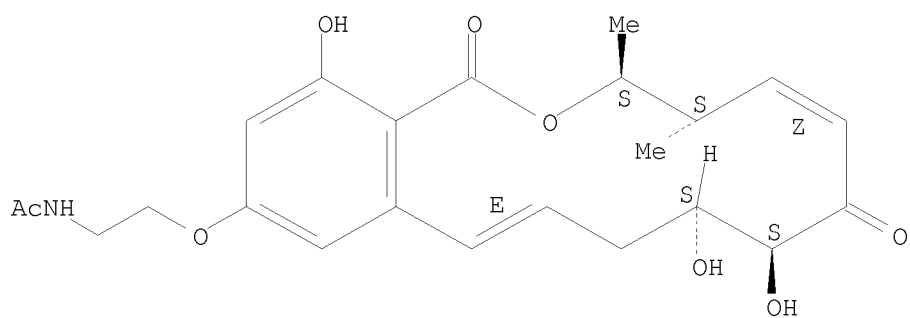
RN 1080811-03-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080811-04-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

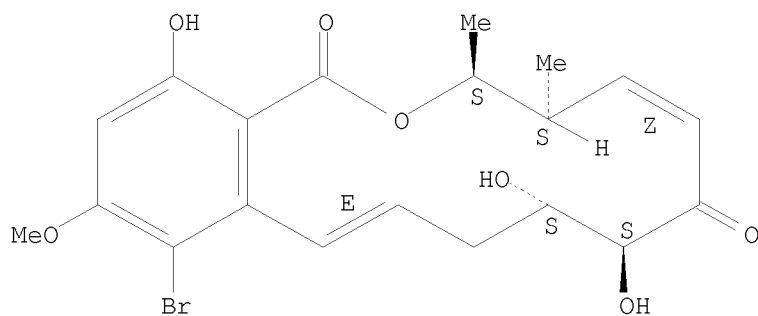
Absolute stereochemistry.
Double bond geometry as shown.



10/923,271

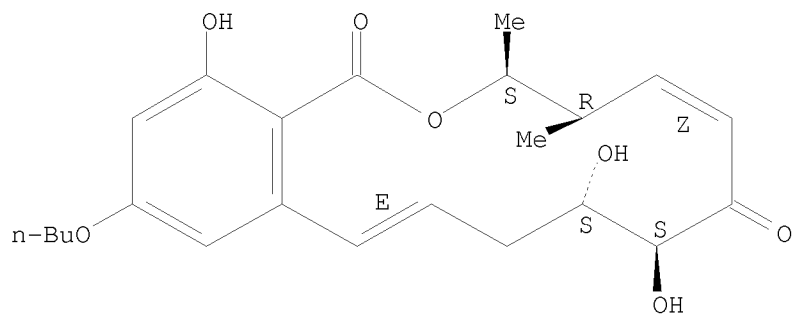
RN 1080811-05-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



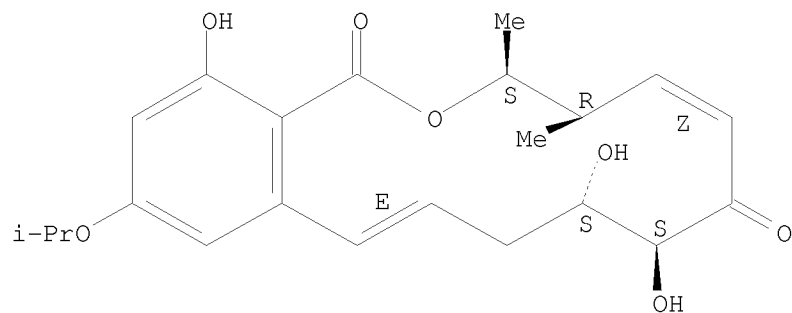
RN 1080811-06-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080811-07-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

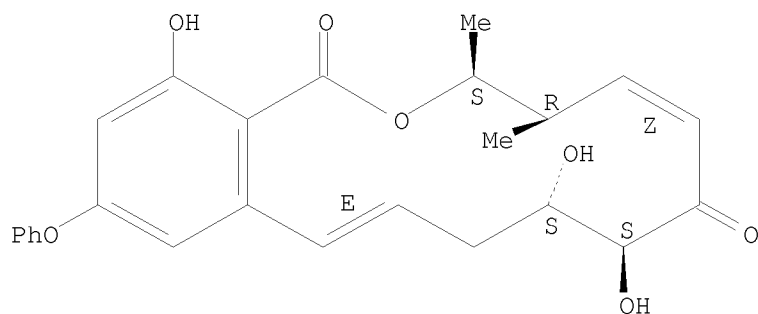
Absolute stereochemistry.
Double bond geometry as shown.



10/923,271

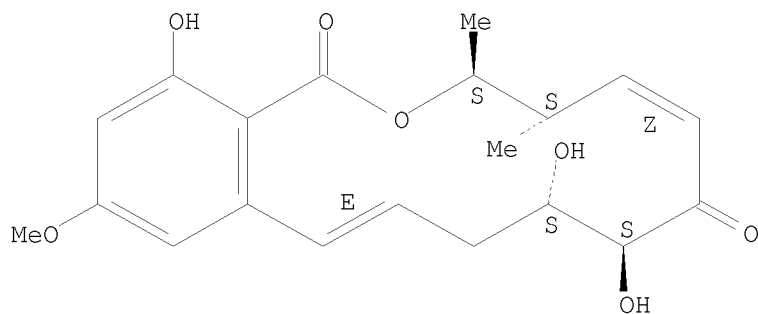
RN 1080811-08-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



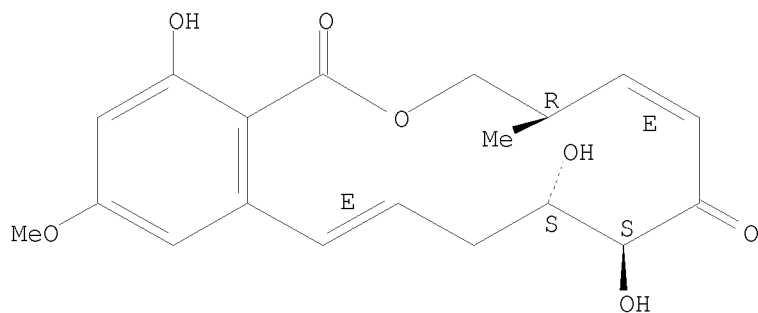
RN 1080811-09-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1080811-10-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

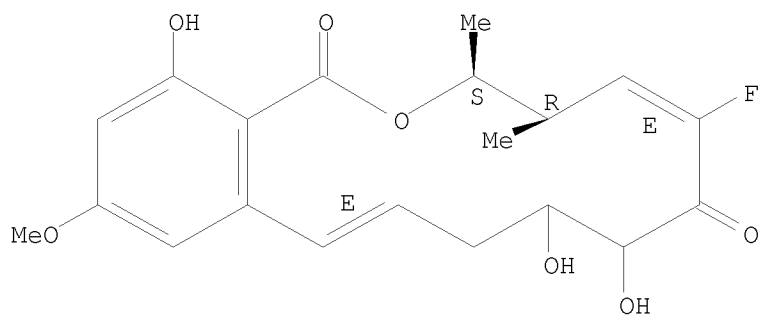
Absolute stereochemistry.
Double bond geometry as described by E or Z.



10/923,271

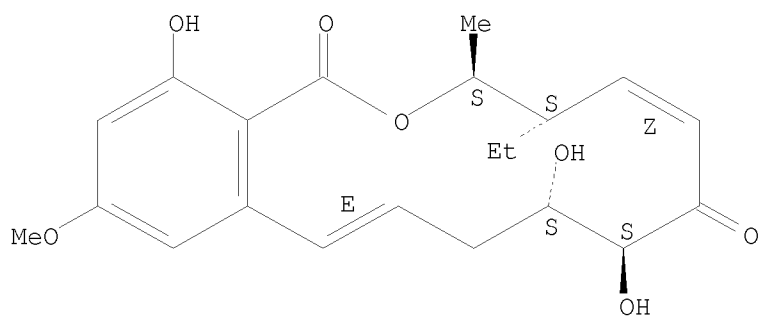
RN 1080811-11-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



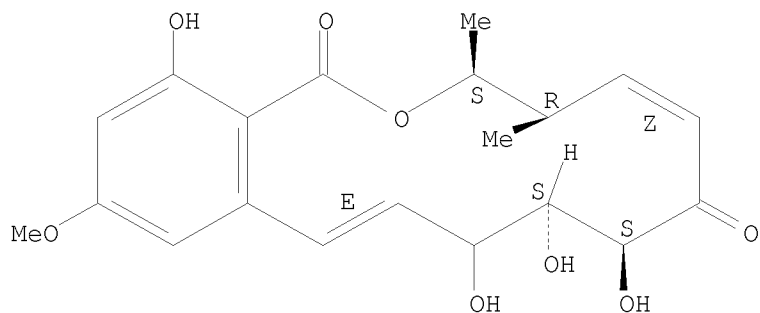
RN 1080811-12-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 1083006-06-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

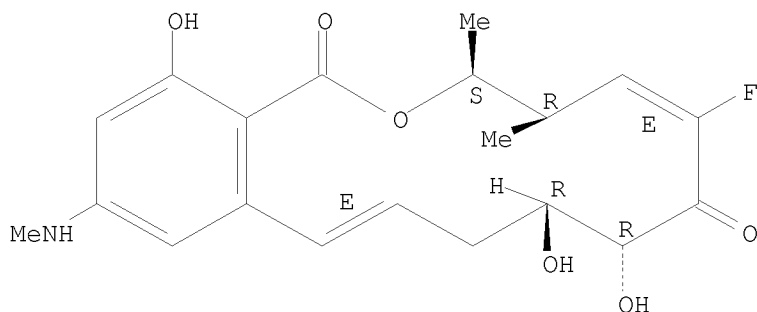
Absolute stereochemistry.
Double bond geometry as shown.



10/923,271

IT 603044-46-8P 603045-38-1P 603045-40-5P
603045-42-7P 603045-44-9P, ER 807563
603045-45-0P 603045-46-1P 603151-24-2P, ER
803064 603151-32-2P 603151-34-4P, ER 804019
603959-46-2P, ER 804035 603985-37-1P
603985-63-3P 603985-64-4P 603985-65-5P
603985-69-9P 603985-70-2P, ER 804606
603985-72-4P 603985-73-5P 603985-74-6P
603985-75-7P 603985-76-8P 603985-77-9P
603985-78-0P 603986-04-5P, ER 804387
603987-34-4P 603987-35-5P 603987-75-3P
603987-93-5P, ER 806821 603988-36-9P
RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(preparation of macrocyclic compds. for use in pharmaceutical and cosmetic
compns. which regulate various genes involved in immune and
inflammatory responses)
RN 603044-46-8 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-
(methylamino)-, (3S,4R,5E,8R,9R,11E)- (CA INDEX NAME)

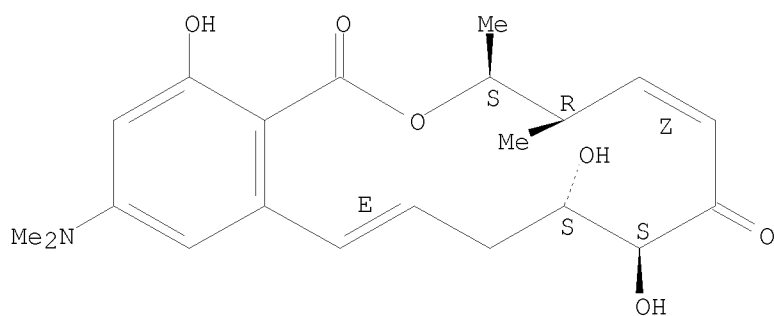
Absolute stereochemistry.
Double bond geometry as shown.



RN 603045-38-1 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(dimethylamino)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

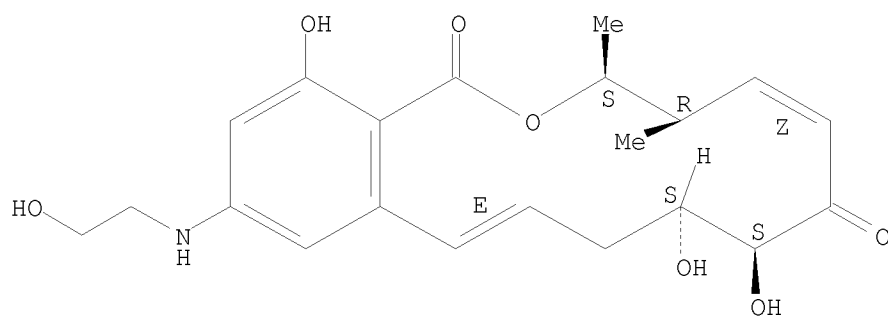
Absolute stereochemistry.
Double bond geometry as shown.

10/923,271



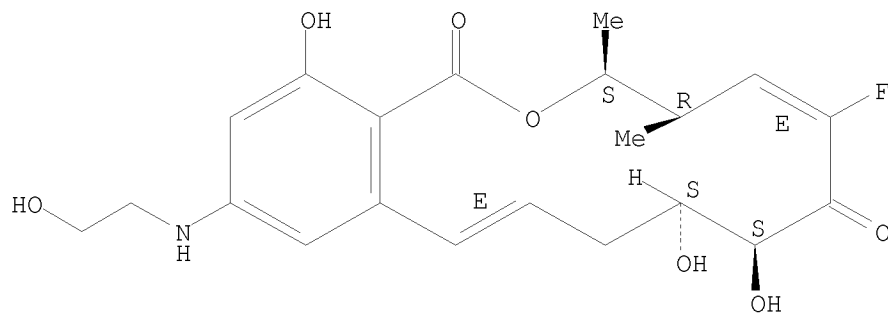
RN 603045-40-5 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(2-hydroxyethyl)amino]-3,4-
dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603045-42-7 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(2-hydroxyethyl)amino]-
3,4-dimethyl-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

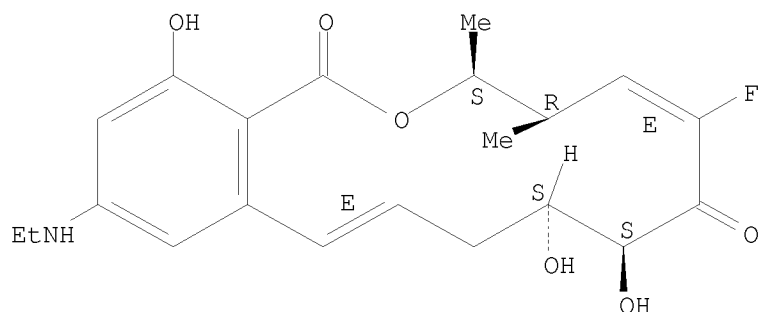


RN 603045-44-9 CAPLUS

10/923,271

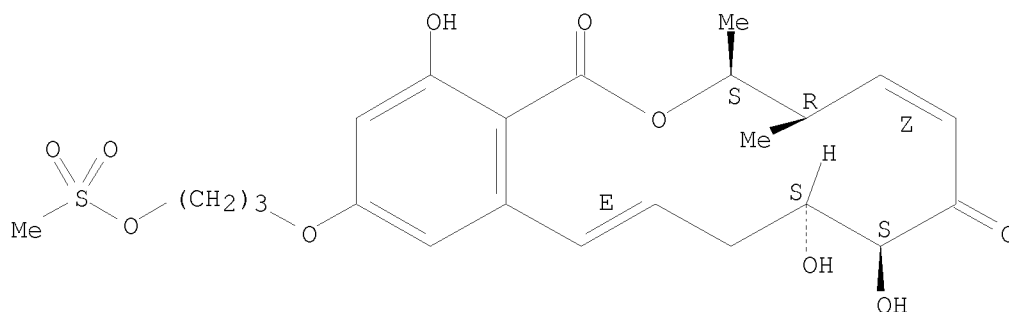
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(ethylamino)-6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-
dimethyl-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603045-45-0 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[3-
[(methylsulfonyl)oxy]propoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

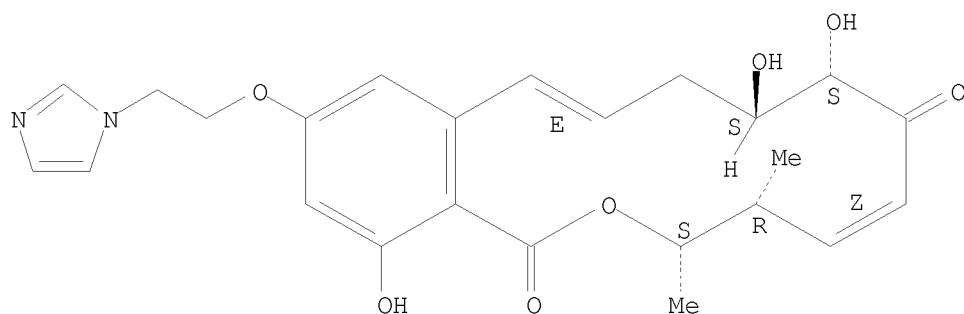
Absolute stereochemistry.
Double bond geometry as shown.



RN 603045-46-1 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[2-(1H-imidazol-1-yl)ethoxy]-3,4-
dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

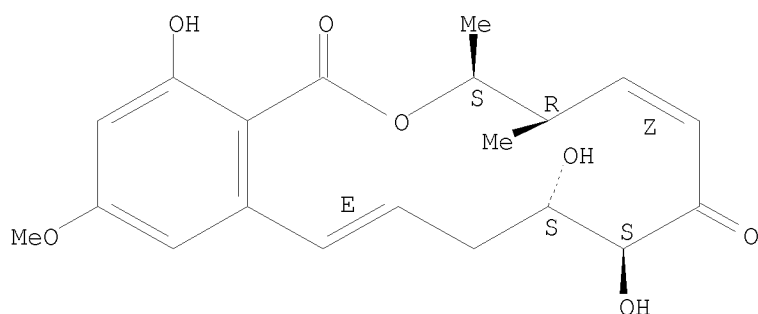
Absolute stereochemistry.
Double bond geometry as shown.

10/923,271



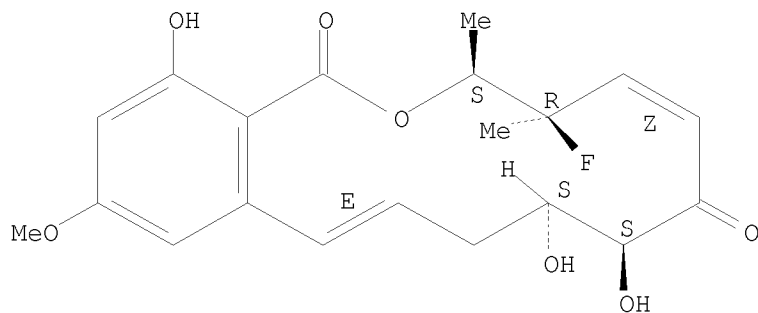
RN 603151-24-2 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603151-32-2 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
4-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

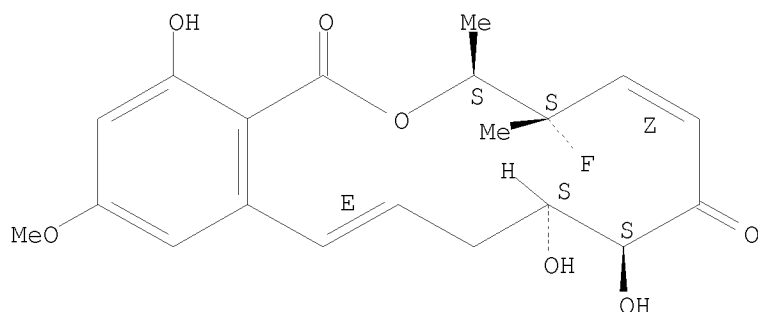


RN 603151-34-4 CAPLUS

10/923,271

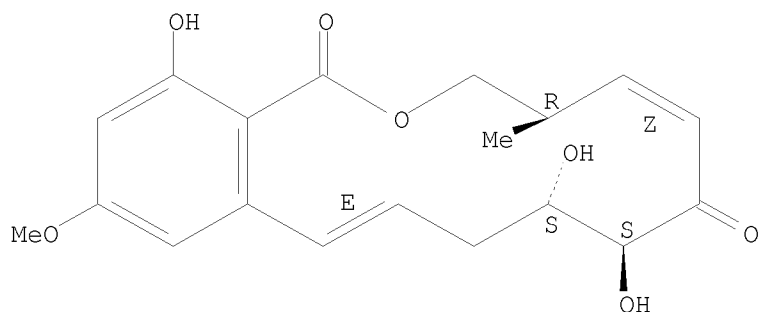
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
4-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3,4-dimethyl-,
(3S,4S,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603959-46-2 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-4-methyl-,
(4R,5Z,8S,9S,11E)- (CA INDEX NAME)

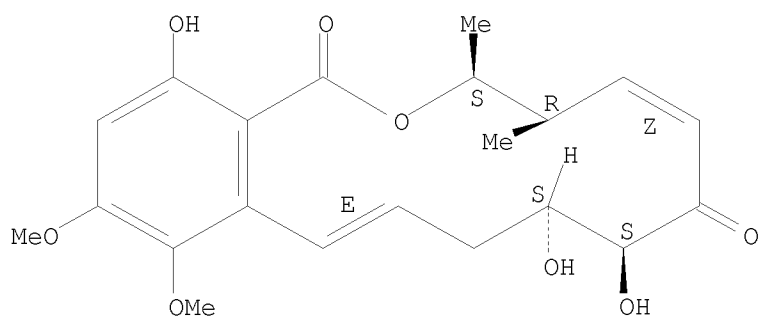
Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-37-1 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-13,14-dimethoxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

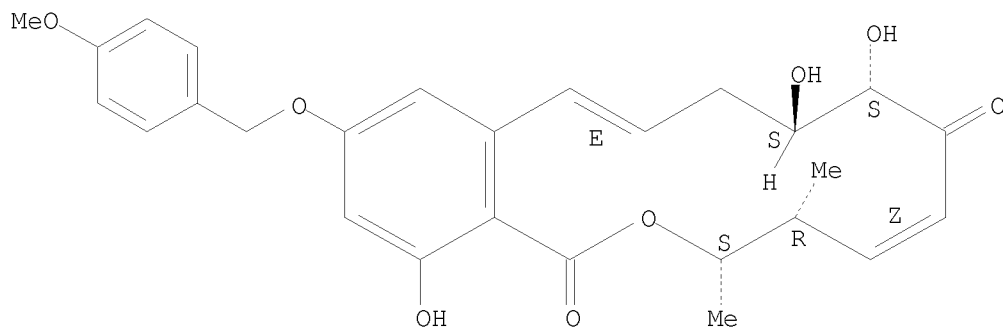
10/923,271



RN 603985-63-3 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[(4-methoxyphenyl)methoxy]-3,4-
dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

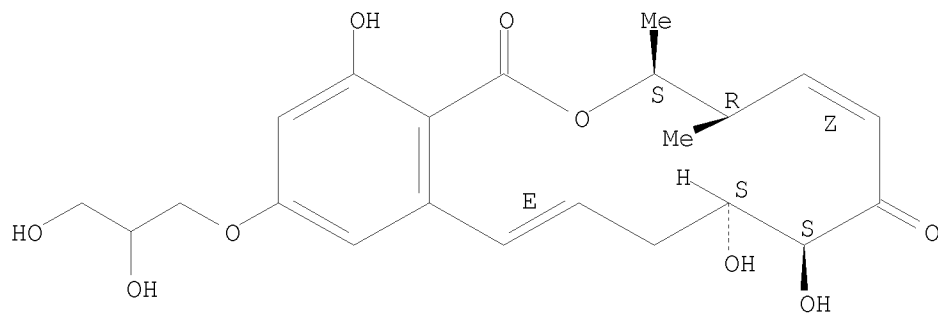
Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-64-4 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(2,3-dihydroxypropoxy)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-
dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

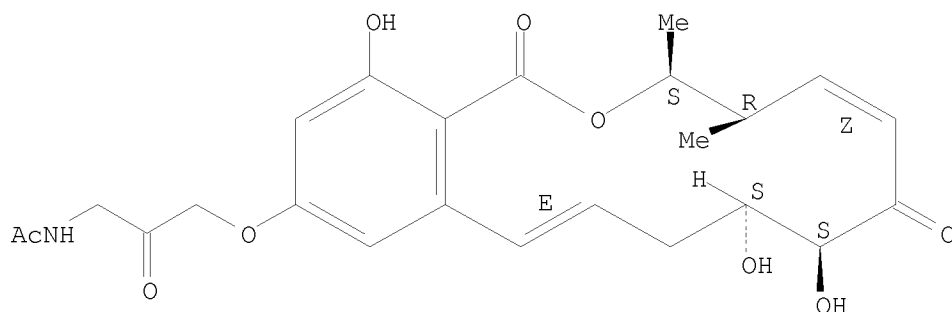


RN 603985-65-5 CAPLUS

10/923,271

CN Acetamide, N-[3-[[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-14-yl]oxy]-2-oxopropyl]- (CA INDEX NAME)

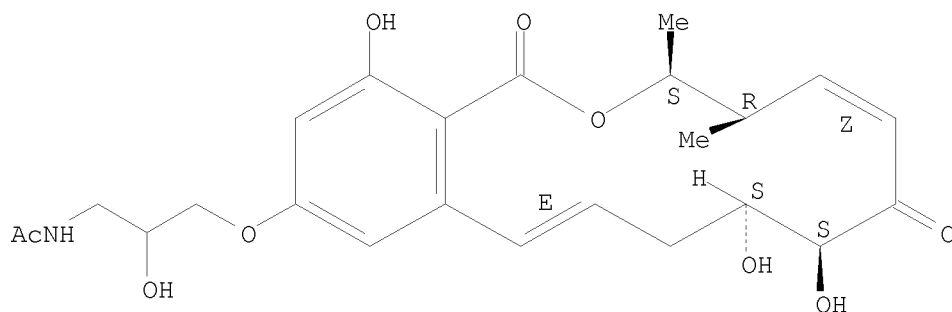
Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-69-9 CAPLUS

CN Acetamide, N-[3-[[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-14-yl]oxy]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

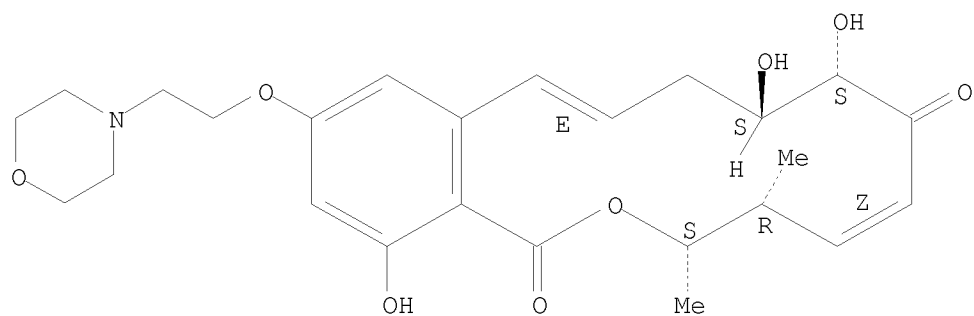


RN 603985-70-2 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione, 3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[2-(4-morpholinyl)ethoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

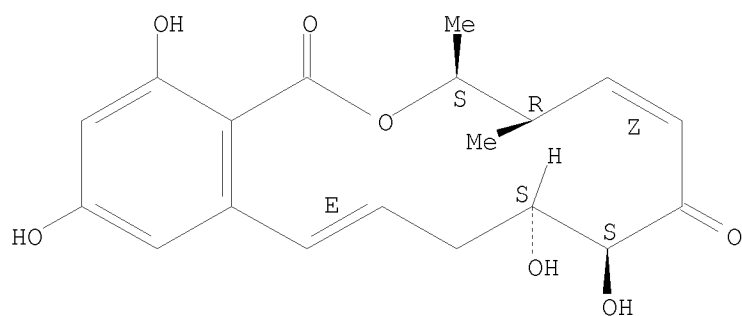
Absolute stereochemistry.
Double bond geometry as shown.

10/923,271



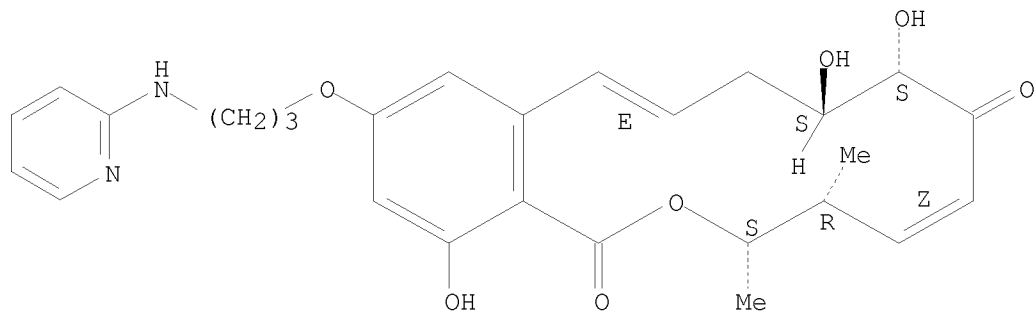
RN 603985-72-4 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,14,16-tetrahydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-73-5 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[3-(2-
pyridinylamino)propoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

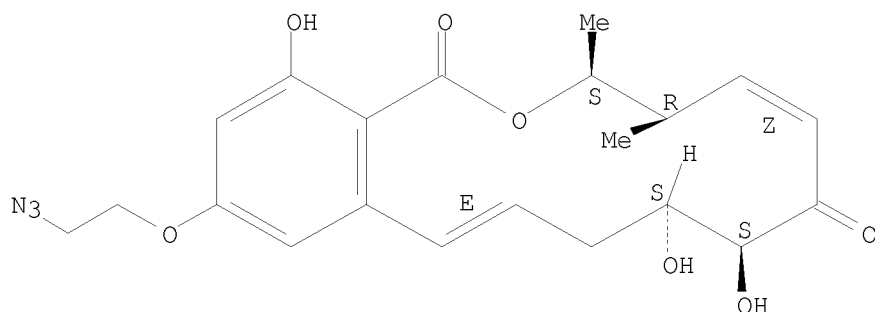


RN 603985-74-6 CAPLUS

10/923,271

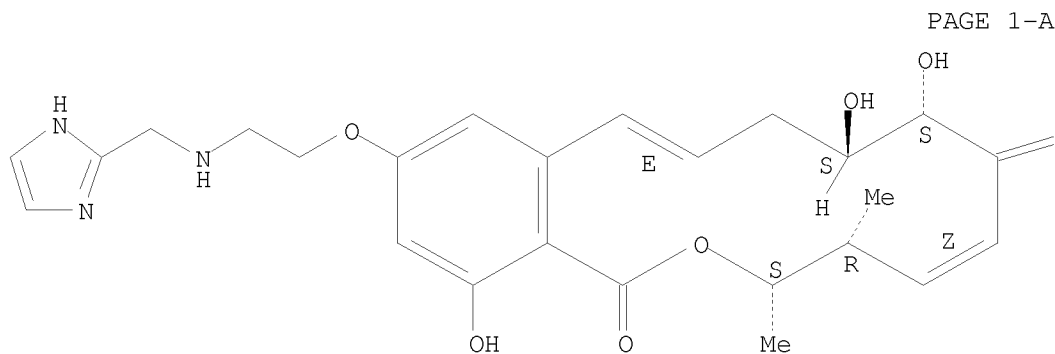
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(2-azidoethoxy)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-75-7 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[2-[(1H-imidazol-2-
ylmethyl)amino]ethoxy]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX
NAME)

Absolute stereochemistry.
Double bond geometry as shown.



PAGE 1-A

PAGE 1-B

=O

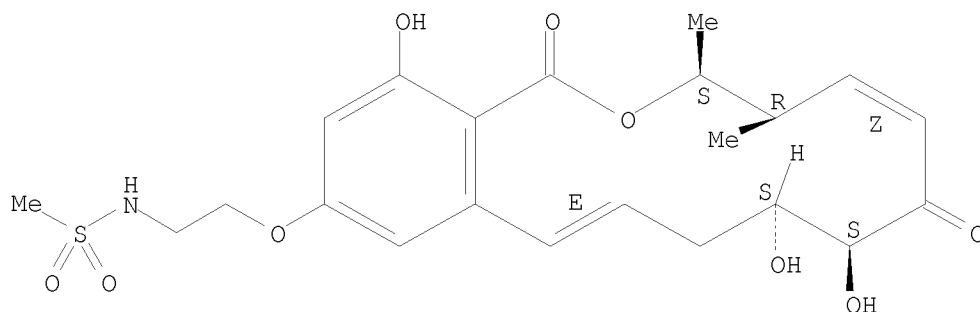
RN 603985-76-8 CAPLUS
CN Methanesulfonamide, N-[2-[[(3S,4R,5Z,8S,9S,11E)-3,4,7,8,9,10-hexahydro-
8,9,16-trihydroxy-3,4-dimethyl-1,7-dioxo-1H-2-benzoxacyclotetradecin-14-
yl]oxy]ethyl]- (CA INDEX NAME)

TOh

17/11/2009

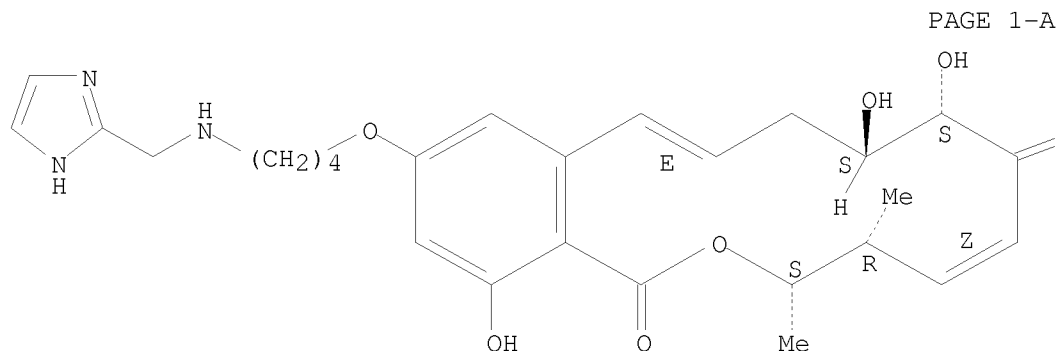
10/923,271

Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-77-9 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-[4-[(1H-imidazol-2-ylmethyl)amino]butoxy]-3,4-dimethyl-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

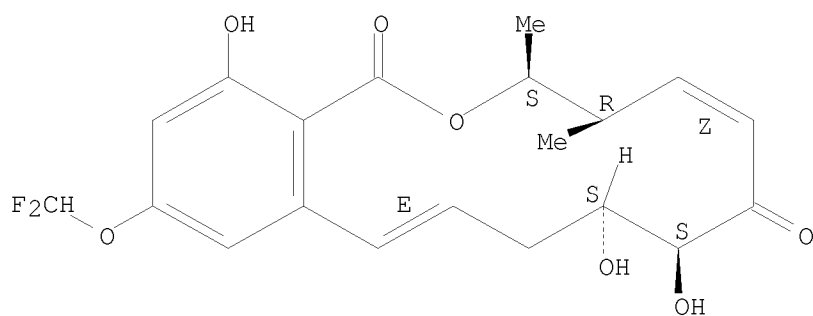


=O

RN 603985-78-0 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(difluoromethoxy)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

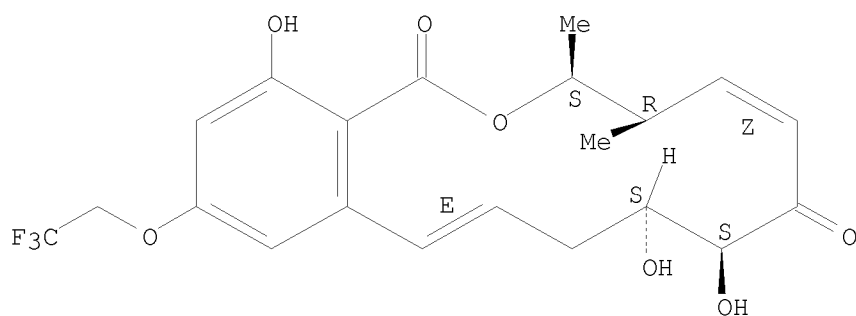
Absolute stereochemistry.
Double bond geometry as shown.

10/923,271



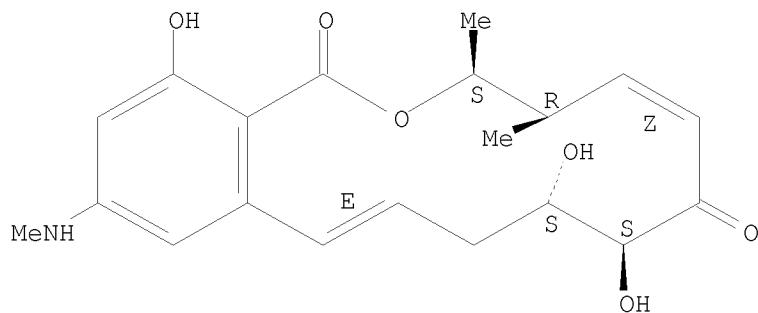
RN 603986-04-5 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-(2,2,2-
trifluoroethoxy)-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603987-34-4 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-(methylamino)-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

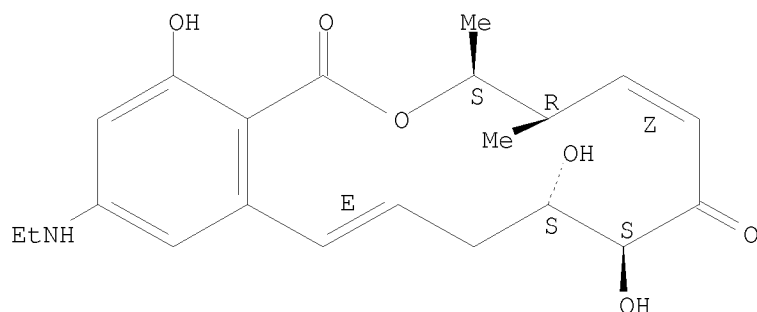


RN 603987-35-5 CAPLUS

10/923,271

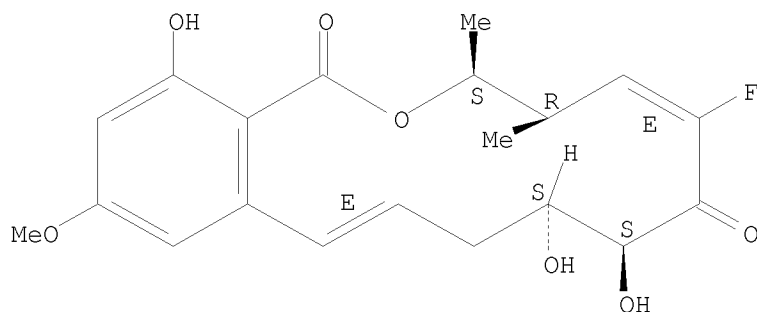
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
14-(ethylamino)-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-,
(3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 603987-75-3 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3,4-dimethyl-,
(3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

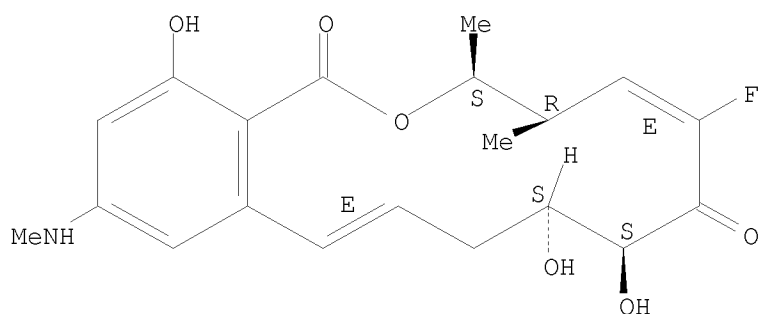
Absolute stereochemistry.
Double bond geometry as shown.



RN 603987-93-5 CAPLUS
CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
6-fluoro-3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-
(methylamino)-, (3S,4R,5E,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

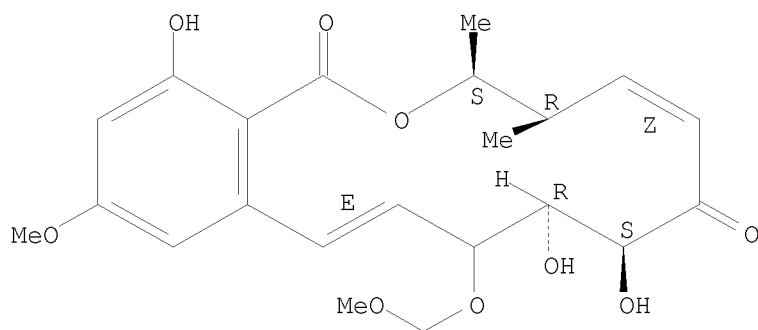
10/923,271



RN 603988-36-9 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-10-(methoxymethoxy)-3,4-
dimethyl-, (3S,4R,5Z,8S,9R,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 603039-45-8P 603959-45-1P 603985-71-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

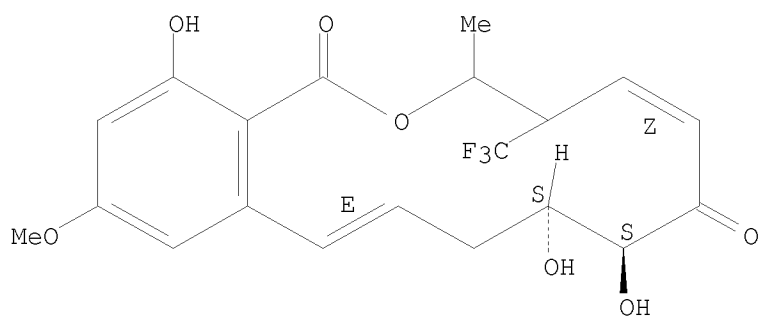
(preparation of macrocyclic compds. for use in pharmaceutical and cosmetic
compns. which regulate various genes involved in immune and
inflammatory responses)

RN 603039-45-8 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3-methyl-4-
(trifluoromethyl)-, (5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

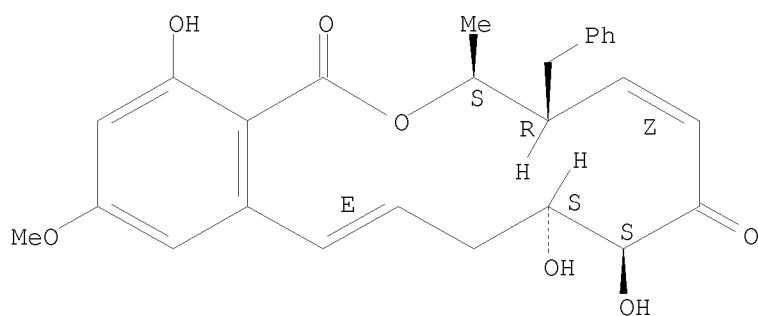
10/923,271



RN 603959-45-1 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-14-methoxy-3-methyl-4-(phenylmethyl)-
, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

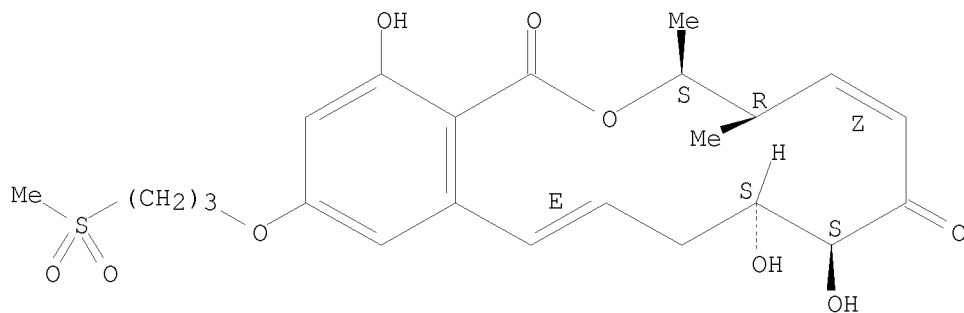
Absolute stereochemistry.
Double bond geometry as shown.



RN 603985-71-3 CAPLUS

CN 1H-2-Benzoxacyclotetradecin-1,7(8H)-dione,
3,4,9,10-tetrahydro-8,9,16-trihydroxy-3,4-dimethyl-14-[3-
(methylsulfonyl)propoxy]-, (3S,4R,5Z,8S,9S,11E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

10/923,271

REFERENCE COUNT:	6	(6 CITINGS) THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
------------------	---	--